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CA SUBSCRIBER PRICE	-9.36	-9.36
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FULL ESTIMATED COST	ENTRY 65.59	SESSION 238.35
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L5 2 US 20070087960/PN (US2007087960/PN)

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2'- and 3'-nucleoside prodrugs for treating Flaviviridae infections TI ΤN Sommadossi, Jean-pierre; La Colla, Paolo; Storer, Richard; Gosselin,

PΑ Idenix (Cayman) Limited, Cayman I.; Centre National de la Recherche Scientifique; Universita Degli Studi di Cagliari

SO PCT Int. Appl., 2498 pp. CODEN: PIXXD2

рΤ Patent English

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PT

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PATENT NO.
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     2' And 3'-Prodrugs of 1'-, 2'-, 3'-, or 4'-branched \beta\text{-D} or \beta\text{-L}
AR
     nucleosides, or their pharmaceutically acceptable salts and derivs., are
     described which are useful in the prevention and treatment of Flaviviridae
     infections and other related conditions. These modified nucleosides
     provide superior results against flaviviruses and pestiviruses, including
     hepatitis C virus and viruses generally that replicate through an
     RNA-dependent RNA reverse transcriptase. Compds., compns., methods and
     uses are provided for the treatment of Flaviviridae infection, including
     HCV infection, that include the administration of an effective amount of the
     prodrugs of the invention, or their pharmaceutically acceptable salts or
     derivs. These drugs may optionally be administered in combination or
     alternation with further antiviral agents to prevent or treat Flaviviridae
     infections and other related conditions. Preparation of compds. of the
     invention is included.
ŦΤ
     9026-28-2
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (NS5B; nucleoside prodrugs for treating Flaviviridae infections)
     9026-28-2 CAPLUS
RN
CN
     Nucleotidyltransferase, ribonucleate, RNA-dependent (CA INDEX NAME)
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
IT
     33985-40-9P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (de prodrugs for treating Flaviviridae infections)
     33985-40-9 CAPLUS
     β-D-ribo-Pentodialdo-1,4-furanoside, methyl 2,3-O-(1-
     methylethylidene) - (9CI) (CA INDEX NAME)
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Absolute stereochemistry.

37353-41-6, Cysteine protease 149885-80-3, NS3 protease 433935-36-5, Polymerase RL: BSU (Biological study, unclassified); BIOL (Biological study) (inhibitors; nucleoside prodrugs for treating Flaviviridae infections, and use with other agents) RN 37353-41-6 CAPLUS CN Proteinase, cysteine (CA INDEX NAME) *** STRUCTURE DIAGRAM IS NOT AVAILABLE *** RN 149885-80-3 CAPLUS Proteinase, polyprotein-processing, NS3 (CA INDEX NAME) CN *** STRUCTURE DIAGRAM IS NOT AVAILABLE *** RN 433935-36-5 CAPLUS Nucleotidyltransferase, polynucleotide (CA INDEX NAME) CN *** STRUCTURE DIAGRAM IS NOT AVAILABLE *** 20724-73-6P RL: ADV (Adverse effect, including toxicity); BSU (Biological study, unclassified); DMA (Drug mechanism of action); PAC (Pharmacological activity); PKT (Pharmacokinetics); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (nucleoside prodrugs for treating Flaviviridae infections) RN 20724-73-6 CAPLUS Cytidine, 2'-C-methyl- (CA INDEX NAME) CN

Absolute stereochemistry.

IT 125911-78-6 243664-63-3, DNA polymerase β 386213-38-3 RL: BSU (Biological study, unclassified); BIOL (Biological study) (nucleoside prodrugs for treating Flaviviridae infections) RN 125911-78-6 CAPLUS CN 5'-Uridylic acid, 2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 243664-63-3 CAPLUS
CN Nucleotidyltransferase, thymine cyclobutane dimer-bypass deoxyribonucleate (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
RN 386213-38-3 CAPLUS
CN 5'-Cytidylic acid, 2'-C-methyl- (9CI) (CA INDEX NAME)

RN 125911-76-4 CAPLUS

CN Uridine 5'-(tetrahydrogen triphosphate), 2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 150993-73-0 CAPLUS

CN Uridine 5'-(trihydrogen diphosphate), 2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$\begin{array}{c|c} H & O & O & OH \\ \hline N & R & R & O & OPO3H2 \\ \hline Me & OH & OH & OH & OH \\ \hline \end{array}$$

RN 640725-72-0 CAPLUS

CN Cytidine 5'-(trihydrogen diphosphate), 2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 374750-28-4

RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); PKT (Pharmacokinetics); BIOL (Biological study)

(nucleoside prodrugs for treating Flaviviridae infections) RN 374750-28-4 CAPLUS

CN Cytidine 5'-(tetrahydrogen triphosphate), 2'-C-methyl- (9CI) (CA INDEX NAME)

ΙT 640725-71-9P

RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(nucleoside prodrugs for treating Flaviviridae infections)

640725-71-9 CAPLUS

L-Valine, 3'-ester with 2'-C-methylcytidine, hydrochloride (1:2) CN INDEX NAME)

Absolute stereochemistry. Rotation (+).

●2 HC1

2096-10-8 15397-12-3 31448-54-1 188413-99-2 374750-30-8 640725-73-1 640725-74-2 640725-75-3 640725-76-4 640725-77-5 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (nucleoside prodrugs for treating Flaviviridae infections) RN 2096-10-8 CAPLUS CN Adenosine, 2-amino- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN

15397-12-3 CAPLUS Adenosine, 2'-C-methyl- (CA INDEX NAME) CN

RN 31448-54-1 CAPLUS CN Uridine, 2'-C-methyl- (8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 188413-99-2 CAPLUS CN Cytidine, 2'-C-ethynyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 374750-30-8 CAPLUS CN Guanosine, 2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 640725-73-1 CAPLUS CN Adenosine, 2-amino-2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 640725-74-2 CAPLUS
CN 9H-Purin-2-amine, 6-chloro-9-(2-C-methyl-β-D-ribofuranosyl)- (CA INDEX NAME)

Absolute stereochemistry.

RN 640725-75-3 CAPLUS
CN L-Valine, 3',5'-diester with 6-chloro-9-(2-C-methyl-β-D-ribofuranosyl)-9H-purin-2-amine, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

●2 HC1

RN 640725-76-4 CAPLUS CN Adenosine, 2'-C-ethynyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

640725-77-5 CAPLUS

Adenosine, 2-amino-N-cyclopropyl-2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

50-69-1, D-Ribose 57-48-7, D-Fructose, reactions 65-71-4, Thymine 66-22-8, Uracil, reactions 71-30-7, Cytosine 77-76-9, 2,2-Dimethoxypropane 98-88-4, Benzoyl chloride 108-24-7, Acetic anhydride 13734-41-3 40615-36-9 185610-53-1 IT

RL: RCT (Reactant); RACT (Reactant or reagent)

(nucleoside prodrugs for treating Flaviviridae infections)

50-69-1 CAPLUS RN

D-Ribose (CA INDEX NAME)

Absolute stereochemistry.

57-48-7 CAPLUS RN

D-Fructose (CA INDEX NAME) CN

Absolute stereochemistry.

65-71-4 CAPLUS RN

2,4(1H,3H)-Pyrimidinedione, 5-methyl- (CA INDEX NAME) CN

66-22-8 CAPLUS RN

CN 2,4(1H,3H)-Pyrimidinedione (CA INDEX NAME)

71-30-7 CAPLUS

2(1H)-Pyrimidinone, 6-amino- (CA INDEX NAME)

77-76-9 CAPLUS

Propane, 2,2-dimethoxy- (CA INDEX NAME) CN

RN 98-88-4 CAPLUS

Benzoyl chloride (CA INDEX NAME)

108-24-7 CAPLUS

Acetic acid, 1,1'-anhydride (CA INDEX NAME)

AC- 0- AC

13734-41-3 CAPLUS

L-Valine, N-[(1,1-dimethylethoxy)carbonyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 40615-36-9 CAPLUS

CN Benzene, 1,1'-(chlorophenylmethylene)bis[4-methoxy- (CA INDEX NAME)

RN 185610-53-1 CAPLUS

Carbamic acid, diphenyl-, 2-[(2-methyl-1-oxopropyl)amino]-1H-purin-6-yl ester (9CI) (CA INDEX NAME) CN

Absolute stereochemistry. Rotation (+).

RN 4099-85-8 CAPLUS CN β -D-Ribofuranoside, methyl 2,3-O-(1-methylethylidene)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 7392-74-7 CAPLUS
CN D-Ribonic acid, 2-C-methyl-, γ-lactone, 2,3,5-tribenzoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 30361-17-2 CAPLUS
CN D-Ribofuranose, 2-C-methyl-, 2,3,5-tribenzoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 30361-19-4 CAPLUS

CN D-Ribofuranose, 2-C-methyl-, tetrabenzoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

55797-67-6 CAPLUS RN

CN β -D-erythro-Pentofuranoside, methyl 4-C-(hydroxymethyl)-2,3-O-(1methylethylidene) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN

152540-75-5 CAPLUS Uridine, 5-methyl-4'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

327614-69-7 CAPLUS RN

 $\alpha-L-Lyxofuranoside,$ methyl 4-C-[(benzoyloxy)methyl]-2,3-O-(1-methylethylidene)- (9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

RN 327614-72-2 CAPLUS

CN α -L-Lyxofuranoside, methyl 4-C-[[bis(4-methoxyphenyl)phenylmethoxy]m ethyl]-2,3-O-(1-methylethylidene)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 503543-43-9 CAPLUS

 $\beta\text{-D-Ribofuranoside},$ methyl 4-C-methyl-2,3-O-(1-methylethylidene)-, benzoate (9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

503543-44-0 CAPLUS RN

CN D-Ribofuranose, 4-C-methyl-, 1,2,3-triacetate 5-benzoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN

503543-45-1 CAPLUS Uridine, 4'-C-methyl-, 2',3'-diacetate 5'-benzoate (9CI) (CA INDEX NAME)

RN 503543-46-2 CAPLUS

CN Uridine, 4'-C-methyl-4-thio-, 2',3'-diacetate 5'-benzoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 503543-47-3 CAPLUS

CN Uridine, 4'-C-methyl-4-thio- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 503543-49-5 CAPLUS

CN Uridine, 5-methyl-4'-C-methyl-, 2',3'-diacetate 5'-benzoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 503543-50-8 CAPLUS

CN Uridine, 5-methyl-4'-C-methyl-, 2',3',5'-triacetate (9CI) (CA INDEX NAME)

503543-51-9 CAPLUS Uridine, 5-methyl-4'-C-methyl-4-thio-, 2',3',5'-triacetate (9CI) (CA CN INDEX NAME)

Absolute stereochemistry.

RN503543-55-3 CAPLUS Adenosine, 4'-C-methyl-, 2',3'-diacetate 5'-benzoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN

503806-04-0 CAPLUS Guanosine, 4'-C-methyl-N-(2-methyl-1-oxopropyl)-, 2',3'-diacetate 5'-benzoate 6-(diphenylcarbamate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 640725-69-5 CAPLUS Cytidine, 2'-C-methyl-, 2',3',5'-tribenzoate (9CI) (CA INDEX NAME) CN

RN

640725-70-8 CAPLUS L-Valine, N-[(1,1-dimethylethoxy)carbonyl]-, 3'-ester with CN 2'-C-methylcytidine (CA INDEX NAME)

Absolute stereochemistry.

152540-76-6P 153186-26-6P 153186-32-4P

503543-48-4P 503543-52-0P

RL: SPN (Synthetic preparation); PREP (Preparation) (nucleoside prodrugs for treating Flaviviridae infections)

152540-76-6 CAPLUS Adenosine, 4'-C-methyl- (9CI) (CA INDEX NAME) RN

CN

Absolute stereochemistry.

RN

153186-26-6 CAPLUS Uridine, 4'-C-methyl- (9CI) CN (CA INDEX NAME)

Absolute stereochemistry.

RN 153186-32-4 CAPLUS

Guanosine, 4'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN

503543-48-4 CAPLUS Cytidine, 4'-C-methyl-, monohydrochloride (9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

HC1

RN 503543-52-0 CAPLUS

CN Cytidine, 5-methyl-4'-C-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

● HCl

IT 58-96-8, Uridine 65-46-3, Cytidine 951-77-9,

Deoxycytidine

RL: BSU (Biological study, unclassified); BIOL (Biological study) (nucleoside prodrugs for treating Flaviviridae infections, and use with

other agents) 58-96-8 CAPLUS RN

Uridine (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 65-46-3 CAPLUS

CN Cytidine (CA INDEX NAME)

Absolute stereochemistry.

RN 951-77-9 CAPLUS

CN Cytidine, 2'-deoxy- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

Absolute stereochemistry.

RN 84-11-7 CAPLUS

CN 9,10-Phenanthrenedione (CA INDEX NAME)

RN 93-98-1 CAPLUS

CN Benzamide, N-phenyl- (CA INDEX NAME)

RN 504-78-9 CAPLUS

CN Thiazolidine (CA INDEX NAME)

RN 17397-89-6 CAPLUS

CN 2-Oxiranecarboxamide, 3-[(4E,7E)-1-oxo-4,7-nonadien-1-y1]-, (2R,3S)- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 25322-68-3 CAPLUS

CN Poly(oxy-1,2-ethanediyl), α -hydro- ω -hydroxy- (CA INDEX NAME)

$$HO = \begin{bmatrix} CH_2 - CH_2 - O \end{bmatrix}_n H$$

RN 36791-04-5 CAPLUS

CN 1H-1,2,4-Triazole-3-carboxamide, $1-\beta$ -D-ribofuranosyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 98530-12-2 CAPLUS

Interferon $\alpha 2$ (human leukocyte clone pM21 protein moiety reduced) (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

RN 205171-05-7 CAPLUS

CN

9H-Purine, 6-chloro-9-(2-C-methyl- β -D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

374750-32-0 CAPLUS Inosine, 2'-C-methyl- (9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

443642-29-3 CAPLUS
7H-Pyrrolo[2,3-d]pyrimidin-4-amine, 7-(2-C-methyl-β-D-ribofuranosyl)(CA INDEX NAME) RN

Absolute stereochemistry. Rotation (-).

RN 472960-22-8 CAPLUS

CN Serum albumin (human) fusion protein with interferon $\alpha 2$ (human) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

RN

565450-78-4 CAPLUS Inosine, 2'-C-methyl-6-O-methyl- (9CI) (CA INDEX NAME) CN

RN 622381-09-3 CAPLUS

CN Cytidine, N-cyclopropyl-2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 645004-11-1 645004-12-2 645004-13-3

645004-14-4 645004-15-5 645004-16-6

RL: PRP (Properties)

(unclaimed sequence; 2'- and 3'-nucleoside prodrugs for treating Flaviviridae infections)

RN 645004-11-1 CAPLUS

CN RNA, (C-A-U-A-U-G-C-U-C-U-U-A-A-U-C-U-U-U-U-C-C) (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

RN 645004-12-2 CAPLUS

CN RNA, (C-A-U-A-U-G-G-U-C-U-U-A-A-U-C-U-U-U-C-C) (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

RN 645004-13-3 CAPLUS

CN RNA, (C-A-U-A-U-G-C-U-G-U-U-A-A-U-C-U-U-U-C-C) (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

RN 645004-14-4 CAPLUS

CN RNA, (C-A-U-A-U-C-G-U-C-U-U-A-A-U-C-U-U-U-C-C) (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

RN 645004-15-5 CAPLUS

CN RNA, (C-A-U-A-U-C-C-U-G-U-U-A-A-U-C-U-U-U-U-C-C) (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

RN 645004-16-6 CAPLUS

CN RNA, (C-A-U-A-U-C-C-U-C-U-U-A-A-U-G-U-U-U-C-C) (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

IT 9012-90-2

RL: BSU (Biological study, unclassified); BIOL (Biological study) (α and γ ; nucleoside prodrugs for treating Flaviviridae infections)

RN 9012-90-2 CAPLUS

CN Nucleotidyltransferase, deoxyribonucleate (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

L8 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2004:20696 CAPLUS

DN 140:77365

TI Preparation of modified 2'- and 3'-nucleoside prodrugs for treating Flaviviridae infections

IN Sommadossi, Jean-pierre; La Colla, Poalo; Storer, Richard; Gosselin, Gilles

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PA
     Idenix (Cayman) Limited, Cayman I.; Universita degli studi di Cagliari;
     Centre National de la Recherche Scientifique
SO
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DТ
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Ι

AΒ 2' And/or 3' prodrugs of 1', 2', 3' or 4'-branched-nucleosides I, wherein R1-R3 are independently H, phosphate, alkyl, acyl, CO-alkyl, CO-aryl, CO-alkoxyalkyl, CO-aryloxyalkyl, CO-substituted aryl, sulfonate ester, benzyl, wherein the Ph group is optionally substituted with one or more substituents, alkylsulfonyl, arylsulfonyl, aralkylsulfonyl, lipid, amino acid, carbohydrate, peptide, cholesterol; Y1 is hydrogen, bromo, chloro, fluoro, iodo, CN, OH, OR4, NH2, NHR4, NR4R5, SH or SR4; X1 and X2 are independently alkyl, CH3, CF3, CY3, 2-Br-Et, CH2F, CH2Cl, CH2CF3, CF2CF3, CY2CY3, CH2OH, alkenyl, alkynyl, COOH, COOR4, COO-alkyl, COO-aryl, CO-O-alkoxyalkyl, CONH2, CONHR4, CON(R4)2, halo, CN, N3, OH, OR4, NH2, NHR4, NR4R5, SH or SR5; Y is independently H, halo; and each R4 and R5 is independently hydrogen, acyl, alkyl, lower alkyl, alkenyl, alkynyl or cycloalkyl, and their pharmaceutically acceptable salts and derivs. are described. These prodrugs are useful in the prevention and treatment of Flaviviridae infections, including HCV infection, and other related conditions. Compds. and compns. of the prodrugs of the present invention are described. Methods and uses are also provided that include the administration of an effective amount of the prodrugs of the present invention, or their pharmaceutically acceptable salts or derivs. These drugs may optionally be administered in combination or alteration with further anti-viral agents to prevent or treat Flaviviridae infections and other related conditions. Thus, antiviral activity of β -D-2'-C-methyl-7-methyl-6-phenyl-3,3a,5,8a-tetrahydro-1,3,4,5,7apenta-aza-s-indacen-8-one is reported. 4099-85-8P 33985-40-9P 55797-67-6P 327614-68-6P 327614-69-7P 503543-43-9P 503543-44-0P 640281-90-9P RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of modified and nucleoside prodrugs for treating flaviviridae infections) ŔŊ 4099-85-8 CAPLUS β-D-Ribofuranoside, methyl 2,3-O-(1-methylethylidene)- (CA INDEX

Absolute stereochemistry. Rotation (-).

RN 33985-40-9 CAPLUS CN β -D-ribo-Pentodialdo-1,4-furanoside, methyl 2,3-O-(1-methylethylidene)- (9CI) (CA INDEX NAME)

RN

55797-67-6 CAPLUS $\beta\text{-D-erythro-Pentofuranoside, methyl }4\text{-C-(hydroxymethyl)-2,3-O-(l-}$ CN methylethylidene) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 327614-68-6 CAPLUS

 $\alpha-L-Lyx of uranoside, methyl 5-O-\{bis(4-methoxyphenyl)phenylmethyl]-4-C-(hydroxymethyl)-2,3-O-(1-methylethylidene)- (9CI) (CA INDEX NAME)$ CN

Absolute stereochemistry.

327614-69-7 CAPLUS RN

 $\alpha-L-Lyx of uranoside, methyl 4-C-[(benzoyloxy)methyl]-2,3-O-(l-methylethylidene)- (9CI) (CA INDEX NAME)$ CN

Absolute stereochemistry.

RN 503543-43-9 CAPLUS

 $\beta\text{-D-Ribofuranoside, methyl }4\text{-C-methyl-2,3-O-(1-methylethylidene)-,}$ benzoate (9CI) (CA INDEX NAME) CN

RN 503543-44-0 CAPLUS

CN D-Ribofuranose, 4-C-methyl-, 1,2,3-triacetate 5-benzoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 640281-90-9 CAPLUS

L-Valine, 3'-ester with 2'-C-methylcytidine (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

IT 640281-91-0

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

(Biological study); USES (Uses) (preparation of modified and nucleoside prodrugs for treating flaviviridae infections)

RN

640281-91-0 CAPLUS 9H-Imidazo[1,2-a]purin-9-one, 3,4-dihydro-7-methyl-3-(2-C-methyl-β-D-CN ribofuranosyl)-6-phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ΙT

50-69-1, D-Ribose 13734-41-3 20724-73-6 RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of modified and nucleoside prodrugs for treating flaviviridae infections)

50-69-1 CAPLUS RN

CN D-Ribose (CA INDEX NAME)

Absolute stereochemistry.

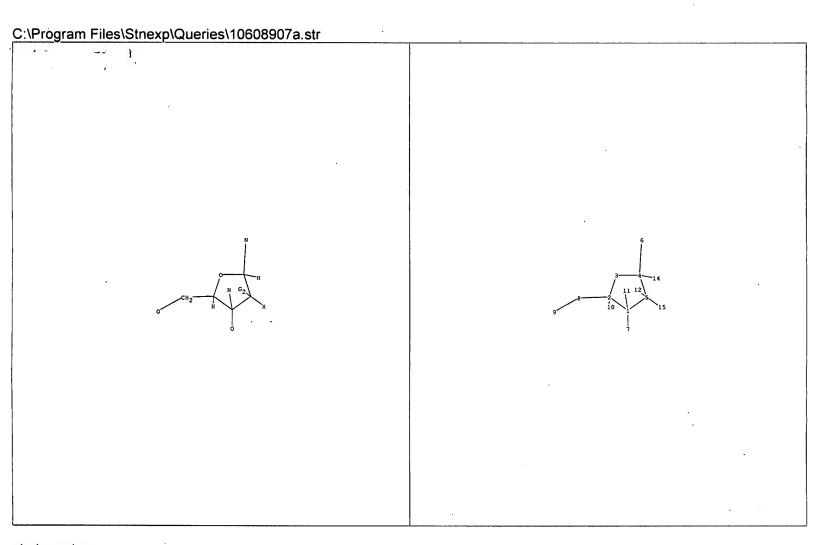
RN

13734-41-3 CAPLUS L-Valine, N-[(1,1-dimethylethoxy)carbonyl]- (CA INDEX NAME) CN

Absolute stereochemistry. Rotation (-).

RN

20724-73-6 CAPLUS Cytidine, 2'-C-methyl- (CA INDEX NAME)



chain nodes :

7 8 9 10 11 12 14 15

ring nodes:

1 2 3 4 5 6

chain bonds:

1-7 1-11 2-8 2-10 4-6 4-14 5-12 5-15 8-9

ring bonds:

1-2 1-5 2-3 3-4 4-5

exact/norm bonds:

1-2 1-5 1-7 2-3 3-4 4-5 4-6 5-12

exact bonds:

1-11 2-8 2-10 4-14 5-15 8-9

G1:H,F

G2:CF3,Ak

Match level:

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS8:CLASS9:CLASS10:CLASS11:CLASS12:CLASS14:CLASS 15:CLASS

(FILE 'HOME' ENTERED AT 14:11:26 ON 20 MAY 2007)

FILE 'REGISTRY' ENTERED AT 14:11:53 ON 20 MAY 2007 STRUCTURE UPLOADED 3 S L1 SSS SAM

L1

L2 L3 150 S L1 FULL

FILE 'CAPLUS' ENTERED AT 14:13:03 ON 20 MAY 2007 12 S L3

L4

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PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2
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                     Welcome to STN International
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 NEWS
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NEWS
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 NEWS
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 NEWS
         JAN 16
                 WPIDS/WPINDEX/WPIX enhanced with IPC 8 reclassification data
 NEWS
         JAN 22
                 CA/CAplus updated with revised CAS roles
 NEWS
         JAN 22
                 CA/CAplus enhanced with patent applications from India
NEWS
      Я
         JAN 29
                 PHAR reloaded with new search and display fields
 NEWS
         JAN 29
                 CAS Registry Number crossover limit increased to 300,000 in
                 multiple databases
 NEWS 10
         FEB 15
                 PATDPASPC enhanced with Drug Approval numbers
 NEWS 11
         FEB 15
                 RUSSIAPAT enhanced with pre-1994 records
 NEWS 12
         FEB 23
                 KOREAPAT enhanced with IPC 8 features and functionality
 NEWS 13
         FEB 26
                 MEDLINE reloaded with enhancements
 NEWS 14
         FEB 26
                 EMBASE enhanced with Clinical Trial Number field
 NEWS 15
         FEB 26
                 TOXCENTER enhanced with reloaded MEDLINE
 NEWS 16
         FEB 26
                 IFICDB/IFIPAT/IFIUDB reloaded with enhancements
NEWS 17
         FEB 26
                 CAS Registry Number crossover limit increased from 10,000
                  to 300,000 in multiple databases
 NEWS 18
         MAR 15
                 WPIDS/WPIX enhanced with new FRAGHITSTR display format
 NEWS 19
         MAR 16
                 CASREACT coverage extended
                 MARPAT now updated daily
 NEWS 20
         MAR 20
NEWS 21
         MAR 22
                 LWPI reloaded
NEWS 22
         MAR 30
                 RDISCLOSURE reloaded with enhancements
                 JICST-EPLUS removed from database clusters and STN
 NEWS 23
         APR 02
 NEWS 24
         APR 30
                 GENBANK reloaded and enhanced with Genome Project ID field
NEWS 25
         APR 30
                 CHEMCATS enhanced with 1.2 million new records
                 CA/CAplus enhanced with 1870-1889 U.S. patent records
NEWS 26
         APR 30
NEWS 27
         APR 30
                 INPADOC replaced by INPADOCDB on STN
NEWS 28
         MAY 01
                 New CAS web site launched
NEWS 29
         MAY 08
                 CA/CAplus Indian patent publication number format defined
NEWS 30
         MAY 14
                 RDISCLOSURE on STN Easy enhanced with new search and display
                  fields
              NOVEMBER 10 CURRENT WINDOWS VERSION IS V8.01c, CURRENT
NEWS EXPRESS
              MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
              AND CURRENT DISCOVER FILE IS DATED 25 SEPTEMBER 2006.
 NEWS HOURS
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FILE 'HOME' ENTERED AT 14:11:26 ON 20 MAY 2007
=> file reg
COST IN U.S. DOLLARS
                                                SINCE FILE
                                                                TOTAL
                                                     ENTRY
                                                              SESSION
FULL ESTIMATED COST
                                                      0.21
                                                                 0.21
```

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STRUCTURE FILE UPDATES: STRUCTURE FILE UPDATES: 18 MAY 2007 HIGHEST RN 935394-90-4 DICTIONARY FILE UPDATES: 18 MAY 2007 HIGHEST RN 935394-90-4 18 MAY 2007 HIGHEST RN 935394-90-4

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TSCA INFORMATION NOW CURRENT THROUGH December 2, 2006

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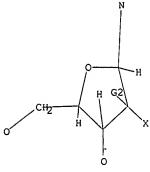
REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

Uploading C:\Program Files\Stnexp\Queries\10608907a.str

STRUCTURE UPLOADED L1

=> d 11 L1 HAS NO ANSWERS L1



G1 H, F G2 CF3, Ak

Structure attributes must be viewed using STN Express query preparation.

=> s 11 sss sam SAMPLE SEARCH INITIATED 14:12:24 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED -9556 TO ITERATE

20.9% PROCESSED 2000 ITERATIONS INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED) SEARCH TIME: 00.00.01

3 ANSWERS

ONLINE **COMPLETE**
BATCH **COMPLETE** FULL FILE PROJECTIONS:

196979 PROJECTED ITERATIONS: 185261 TO PROJECTED ANSWERS: 59 TO 513

3 SEA SSS SAM L1

=> d scan

3 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN

L-Alanine, N-(hydroxyphenoxyphosphinyl)-, phenylmethyl ester, 5'-ester with 7-[(2R)-2-deoxy-2-fluoro-2-methyl- β -D-erythro-pentofuranosyl)-5-ethynyl-7H-pyrrolo[2,3-d]pyrimidin-4-amine (9CI) C30 H31 F N5 O7 P ME

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):2

3 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN 9H-Purin-2-amine, 6-chloro-9-[(2R)-2-deoxy-2-fluoro-2-methyl- β -D-IN

erythro-pentofuranosyl]- (9CI) C11 H13 C1 F N5 O3

Absolute stereochemistry.

ME

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L2

3 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN Acetamide, N-[7-[(2R)-2-chloro-2-deoxy-2-methyl- β -D-erythropentofuranosyl]-5-ethenyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]- (9CI) IN

C16 H19 C1 N4 O4

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ALL ANSWERS HAVE BEEN SCANNED

=> s l1 full FULL SEARCH INITIATED 14:12:48 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 194430 TO ITERATE

100.0% PROCESSED 194430 ITERATIONS SEARCH TIME: 00.00.04

150 ANSWERS

1.3 150 SEA SSS FUL L1

=> file caplus COST IN U.S. DOLLARS

FULL ESTIMATED COST

SINCE FILE TOTAL ENTRY SESSION 172,55 172.76

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=> s 13

L4 12 T.3

=> d bib abs hitstr 1-12 14

- ANSWER 1 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN L4
- 2007:147988 CAPLUS AN
- DN 146:397251
- Mechanism of activation of β -D-2'-deoxy-2'-fluoro-2'-C-methylcytidine and inhibition of hepatitis C virus NS5B RNA polymerase
- AU Murakami, Eisuke; Bao, Haiying; Ramesh, Mangala; McBrayer, Tamara R.; Whitaker, Tony; Steuer, Holly M. Micolochick; Schinazi, Raymond F.; Stuyver, Lieven J.; Obikhod, Aleksandr; Otto, Michael J.; Furman, Phillip
- CS Pharmasset, Inc., Princeton, NJ, 08540, USA
- SO Antimicrobial Agents and Chemotherapy (2007), 51(2), 503-509 CODEN: AMACCQ; ISSN: 0066-4804
- ΡВ American Society for Microbiology
- рπ Journal
- English
- β -D-2'-Deoxy-2'-fluoro-2'-C-methylcytidine (PSI-6130) is a potent specific inhibitor of hepatitis C virus (HCV) RNA synthesis in Huh-7 replicon cells. To inhibit the HCV NS5B RNA polymerase, PSI-6130 must be phosphorylated to the 5'-triphosphate form. The phosphorylation of PSI-6130 and inhibition of HCV NS5B were investigated. The phosphorylation of PSI-6130 by recombinant human 2'-deoxycytidine kinase (dCK) and uridine-cytidine kinase 1 (UCK-1) was measured by using a coupled spectrophotometric reaction. PSI-6130 was shown to be a substrate for purified dCK, with a Knt of 81 μM and a kcat of 0.007 s-1, but was not a substrate for UCK-1. PSI-6130 monophosphate (PSI-6130-MP) was

efficiently phosphorylated to the diphosphate and subsequently to the triphosphate by recombinant human UMP-CMP kinase and nucleoside diphosphate kinase, resp. The inhibition of wild-type and mutated (S282T) HCV NS5B RNA polymerases was studied. The steady-state inhibition constant (Ki) for PSI-6130 triphosphate (PSI-6130-TP) with the wild-type enzyme was 4.3 µM. Similar results were obtained with 2'-C-methyladenosine triphosphate ($Ki = 1.5 \mu M$) and 2'-C-methylcytidine triphosphate ($Ki = 1.5 \mu M$) 1.6 μM). NS5B with the S282T mutation, which is known to confer resistance to 2'-C-methyladenosine, was inhibited by PSI-6130-TP as efficiently as the wild-type. Incorporation of PSI-6130-MP into RNA catalyzed by purified NS5B RNA polymerase resulted in chain termination. IT 817204-44-7, PSI 6130 triphosphate 932721-63-6, PSI 6130 monophosphate 932721-64-7, PSI 6130 diphosphate RL: BSU (Biological study, unclassified); BIOL (Biological study) (formation; mechanism of activation of β-D-2'-deoxy-2'-fluoro-2'-Cmethylcytidine and inhibition of hepatitis C virus NS5B RNA polymerase) RN 817204-44-7 CAPLUS Cytidine 5'-(tetrahydrogen triphosphate), 2'-deoxy-2'-fluoro-2'-methyl-, (2'R) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 932721-63-6 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RN 932721-64-7 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

IT 817204-33-4, PSI 6130 RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study) (mechanism of activation of β -D-2'-deoxy-2'-fluoro-2'-C-methylcytidine and inhibition of hepatitis C virus NS5B RNA polymerase) RN 817204-33-4 CAPLUS Cytidine, 2'-deoxy-2'-fluoro-2'-methyl-, (2'R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RE.CNT 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 2 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN
1.4
AN
     2006:1158278 CAPLUS
DN
     145:489507
     Preparation of fluorinated nucleosides for treating hepatitis C
TI
     Chang, Junbiao; Yu, Xuejun; Bao, Xinhong; Ye, Zhaoting
ΤN
PA
    Henan Kaite Chemical Industry General Corporation, Peop. Rep. China
so
     Faming Zhuanli Shenqing Gongkai Shuomingshu, 12pp.
     CODEN: CNXXEV
DT
     Patent
LA
    Chinese
FAN.CNT 1
     PATENT NO.
                         KIND
                                 DATE
                                             APPLICATION NO.
                                                                    DATE
                         ____
                                                                    -----
     CN 1712409
PΙ
                                 20051228
                                             CN 2005-10017709
                                                                    20050620
PRAI CN 2005-10017709
                                 2005/0620
     CASREACT 145:489507
GT
```

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title fluorinated nucleosides I and II [wherein R1 = H, Me, CN, N3, etc.; R2 = H, NH2, OMe, F, Cl, etc.; R3 = H, NH2, F, Cl, etc.; R4 = F, Cl, Br, CN, N3, etc.], or prodrugs or salts thereof were prepared for the treatment of hepatitis C (no data). For example, I [wherein R1 and R3 = H; R2 = 3-thiophenyl] were prepared in a multi-step synthesis.

892389-10-5P 914377-14-3P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of fluorinated nucleosides for treating hepatitis C)

RN 892389-10-5 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, 7-[(2R)-2-deoxy-2-fluoro-2-methyl-β-D-erythro-pentofuranosyl]-5-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 914377-14-3 CAPLUS

CN 9H-Purine, 9-[(2R)-2-deoxy-2-fluoro-2-methyl-β-D-erythro-pentofuranosyl]-6-(3-thienyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 914377-17-6 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidine, 4-chloro-7-[(2R)-3,5-di-O-benzoyl-2-deoxy-2-fluoro-2-methyl-β-D-erythro-pentofuranosyl]-5-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Absolute stereochemistry.

RN 914377-18-7 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidine, 4-chloro-7-[(2R)-3,5-di-O-benzoyl-2-deoxy-2-fluoro-2-methyl- α -D-erythro-pentofuranosyl]-5-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

KG, KZ, MD,

RU,

Ρ

TJ, TM

20050425

```
L4
     ANSWER 3 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN
AN
     2006:1124279 CAPLUS
DN
     145:455221
     Preparation of nucleoside analogs for treating Flaviviridae family viral
TI
     infections
     Roberts, Christopher D.; Griffith, Ronald Conrad; Dyatkina, Natalia B.;
IN
     Prhavc, Marija
     Genelabs Technologies, Inc., USA
U.S. Pat Appl. Publ., 71pp.
PA
SO
     CODEN: USXXCO
DT
     Patent
LA
     English
FAN.CNT 1
     PATENT NO.
                           KIND
                                   DATE
                                                APPLICATION NO.
                                                                          DATE
     US 2006241064
                            A1
                                   2006/1026
                                                US 2006-411434
                                                                          20060425
     WO 2006116557
                                   200/61102
                                                WO 2006-US15940
                            Α1
                                                                          20060425
             AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
              CN, CO, CR,
                           CU, CZ, DE, DK, DM, DZ, EC, EE,
                                                              EG, ES,
                                                                       FI, GB, GD,
              GE, GH, GM,
                           HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR,
              KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX,
              MZ,
                  NA,
                       NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE,
              SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC,
                  ΥU,
              VN,
                       ZA, ZM, ZW
          RW: AT, BE, BG,
                           CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
              IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
              CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
```

GI

PRAI US 2005-674731P

AB Nucleoside analogs I, wherein Y is O or CH2; X is a halo, hydroxy, alkyl group; W is H, alkyl, etc.; R1 is H, hydroxy, acyl, alkyl, alkoxy; T is an (un)substituted alkynyl group; R2 is an H, alkyl, or a pharmaceutically active prodrug group are prepared for treating viral infections caused by a Flaviviridae family virus. Thus, II was prepared and exhibited 95% inhibition at 6 μM in an HCV-NS5b enzyme assay. Further, I were tested for their anti-Hepatitis C activity against HCV polymerase, in replication assays, and in the cloning expression of recombinant HCV-NS5b.

913188-79-1P 913188-91-7P 913188-92-8P RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of nucleoside analogs for treating Flaviviridae family viral infections)

RN 913188-79-1 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, 7-[(2R)-2-deoxy-2-fluoro-2-methyl-β-D-erythro-pentofuranosyl]-5-ethynyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$NH_2$$
 $C = CH$
 NH_2 $C = CH$
 NH_2 $C = CH$
 NH_2 OH
 NH_2 OH

RN 913188-91-7 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, 7-[(2R)-2-deoxy-2-fluoro-2-methylβ-D-erythro-pentofuranosyl]-5-[(trimethylsilyl)ethynyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 913188-92-8 CAPLUS ·

CN 2-Propynoic acid, 3-[4-amino-7-[(2R)-2-deoxy-2-fluoro-2-methyl-β-D-erythro-pentofuranosyl]-7H-pyrrolo[2,3-d]pyrimidin-5-yl]-, ethyl ester

(9CI) (CA INDEX NAME)

Absolute stereochemistry.

```
913188-93-9P 913188-94-0P 913188-95-1P
913188-96-2P 913188-97-3P 913188-98-4P 913188-99-5P 913189-00-1P 913189-01-2P
913189-02-3P 913189-03-4P 913189-04-5P
913189-05-6P 913189-06-7P 913189-07-8P
913189-08-9P 913189-09-0P 913189-10-3P
913189-11-4P 913189-12-5P 913189-13-6P
913189-14-7P 913189-15-8P 913189-16-9P
913189-18-1P 913189-19-2P 913189-20-5P
913189-21-6P 913189-23-8P 913189-24-9P
913189-25-0P 913189-26-1P 913189-27-2P
913189-28-3P 913189-29-4P 913189-30-7P
913189-31-8P 913189-32-9P 913189-33-0P 913189-34-1P 913189-35-2P 913189-36-3P
913189-37-4P 913189-38-5P 913189-39-6P
913189-40-9P 913189-41-0P 913189-42-1P
913189-43-2P 913189-44-3P 913189-45-4P
913189-46-5P 913189-47-6P 913189-48-7P
913189-49-8P 913189-50-1P 913189-51-2P
913189-52-3P 913189-53-4P 913189-54-5P
913189-55-6P 913189-56-7P 913189-57-8P 913189-58-9P 913189-59-0P 913189-60-3P
913189-61-4P 913189-62-5P 913189-63-6P
913189-64-7P 913189-65-8P 913189-66-9P
913189-67-0P 913189-68-1P 913189-69-2P
913189-70-5P 913189-71-6P 913189-72-7P
913189-73-8P 913189-74-9P 913189-75-0P
913189-76-1P 913190-13-3P 913190-14-4P
913190-15-5P 913190-16-6P 913190-17-7P 913190-18-8P 913190-19-9P 913190-20-2P
913190-21-3P 913190-22-4P 913190-23-5P
913190-24-6P 913190-25-7P 913190-26-8P
913190-27-9P 913190-28-0P 913190-29-1P
913190-30-4P 913190-31-5P 913190-32-6P 913190-33-7P 913190-34-8P 913190-35-9P
913190-36-0P 913190-37-1P 913190-38-2P
913190-39-3P 913190-40-6P 913190-41-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
   (preparation of nucleoside analogs for treating Flaviviridae family viral
   infections)
913188-93-9 CAPLUS
2-Propynamide, 3-[4-amino-7-[(2R)-2-deoxy-2-fluoro-2-methyl-\beta-D-
erythro-pentofuranosyl]-7H-pyrrolo[2,3-d]pyrimidin-5-yl]- (9CI) (CA INDEX
NAME)
```

913188-94-0 CAPLUS 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, 7-[(2R)-2-deoxy-2-fluoro-5-0-[[[(1S)-2-CN $\verb|methoxy-1-methyl-2-oxoethyl| amino| phenoxyphosphinyl|-2-methyl-\beta-D-methyl-3-me$ erythro-pentofuranosyl]-5-ethynyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 913188-95-1 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, 7-[(2R)-2-deoxy-2-fluoro-5-0-[hydroxy[[hydroxy(phosphonooxy)phosphinyl]oxy]phosphinyl]-2-methyl-β-D-erythro-pentofuranosyl]-5-ethynyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN

913188-96-2 CAPLUS 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, 7-[(2R)-2-deoxy-2-fluoro-2-methyl-CN β-D-erythro-pentofuranosyl]-5-nitro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 913188-97-3 CAPLUS

7H-Pyrrolo[2,3-d]pyrimidin-4-amine, 7-[(2R)-2-deoxy-2-fluoro-2-methyl-CN

 β -D-erythro-pentofuranosyl]-5-(2-phenylethynyl)- (9CI) (CA INDEX

Absolute stereochemistry.

RN 913188-98-4 CAPLUS

7H-Pyrrolo[2,3-d]pyrimidine-5-carboxaldehyde, 4-amino-7-[(2R)-2-deoxy-2-fluoro-2-methyl- β -D-erythro-pentofuranosyl]- (9CI) (CA INDEX NAME) ÇN

Absolute stereochemistry.

RN 913188-99-5 CAPLUS

Boronic acid, [4-amino-7-[(2R)-2-deoxy-2-fluoro-2-methyl- β -D-erythro-CN pentofuranosyl]-7H-pyrrolo[2,3-d]pyrimidin-5-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN

913189-00-1 CAPLUS 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, 7-[(2R)-2-deoxy-2-fluoro-2-methyl-CN β-D-erythro-pentofuranosyl]-5-ethenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN

913189-01-2 CAPLUS Formaldehyde, [4-amino-7-[(2R)-2-deoxy-2-fluoro-2-methyl- β -D-erythro-CN pentofuranosyl]-7H-pyrrolo[2,3-d]pyrimidin-5-yl]hydrazone (9CI) (CA INDEX

Absolute stereochemistry.

RN 913189-02-3 CAPLUS

7H-Pyrrolo[2,3-d]pyrimidine-5-carboxaldehyde, 4-amino-7-[(2R)-2-deoxy-2-fluoro-2-methyl- β -D-erythro-pentofuranosyl]-, oxime (9CI) (CA INDEX CN

Absolute stereochemistry. Double bond geometry unknown.

RN

CN NAME)

Absolute stereochemistry.

913189-04-5 CAPLUS

4H-Pyrrolo[2,3-d]pyrimidin-4-one, 7-[(2R)-2-deoxy-2-fluoro-2-methyl-β-D-erythro-pentofuranosyl]-1,7-dihydro-5-(phenylethynyl)-, oxime (9CI) (CA CN INDEX NAME)

HO NH C
$$\subset$$
 C \subset Ph \subset NH \subset NH

RN

913189-05-6 CAPLUS 7H-Pyrrolo[2,3-d]pyrimidine-5-carboxaldehyde, 7-[(2R)-2-deoxy-2-fluoro-2-CN methyl- β -D-erythro-pentofuranosyl]-4-(hydroxyamino)- (9CI) (CA INDEX

Absolute stereochemistry.

913189-06-7 CAPLUS RN

Boronic acid, [7-[(2R)-2-deoxy-2-fluoro-2-methyl-β-D-erythro-pentofuranosyl]-4-(hydroxyamino)-7H-pyrrolo[2,3-d]pyrimidin-5-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

913189-07-8 CAPLUS 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 7-[(2R)-2-deoxy-2-fluoro-2-methyl- β -D-erythro-pentofuranosyl]-5-ethynyl-1,7-dihydro-, oxime (9CI) (CA INDEX CN NAME)

Absolute stereochemistry.

HO NH C CH
$$R R R$$
 OH $R R R$ OH

RN 913189-08-9 CAPLUS

CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 7-[(2R)-2-deoxy-2-fluoro-2-methyl-βD-erythro-pentofuranosyl]-1,7-dihydro-5-[(trimethylsilyl)ethynyl]-, oxime
(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 913189-09-0 CAPLUS

AH-Pyrrolo[2,3-d]pyrimidin-4-one, 7-[(2R)-2-deoxy-2-fluoro-2-methyl-β-D-erythro-pentofuranosyl]-5-ethenyl-1,7-dihydro-, oxime (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 913189-10-3 CAPLUS

CN Formaldehyde, [7-[(2R)-2-deoxy-2-fluoro-2-methyl-β-D-erythropentofuranosyl]-4-(hydroxyamino)-7H-pyrrolo[2,3-d]pyrimidin-5-yl]hydrazone (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 913189-11-4 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidine-5-carboxaldehyde, 7-[(2R)-2-deoxy-2-fluoro-2methyl-β-D-erythro-pentofuranosyl]-4-(hydroxyamino)-, oxime (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

RN 913189-12-5 CAPLUS

CN Acetamide, N-[7-[(2R)-2-deoxy-2-fluoro-2-methyl-β-D-erythro pentofuranosyl]-5-nitro-7H-pyrrolo[2,3-d]pyrimidin-4-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Absolute stereochemistry.

RN 913189-14-7 CAPLUS
CN Acetamide, N-[7-[(2R)-2-deoxy-2-fluoro-2-methyl-β-D-erythro-pentofuranosyl]-5-formyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 913189-15-8 CAPLUS

CN Boronic acid, [4-(acetylamino)-7-[(2R)-2-deoxy-2-fluoro-2-methyl-β-Derythro-pentofuranosyl]-7H-pyrrolo[2,3-d]pyrimidin-5-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 913189-16-9 CAPLUS

CN Acetamide, N-[7-[(2R)-2-deoxy-2-fluoro-2-methyl-β-D-erythropentofuranosyl]-5-ethynyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 913189-18-1 CAPLUS

CN Acetamide, N-[7-[(2R)-2-deoxy-2-fluoro-2-methyl- β -D-erythropentofuranosyl]-5-[2-(trimethylsilyl)ethynyl]-7H-pyrrolo[2,3-d]pyrimidin-4-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 913189-19-2 CAPLUS

CN Acetamide, N-[7-[(2R)-2-deoxy-2-fluoro-2-methyl-β-D-erythropentofuranosyl]-5-ethenyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]- (9CI) (CA
INDEX NAME)

913189-20-5 CAPLUS RN

CN Acetamide, N-[7-[(2R)-2-deoxy-2-fluoro-2-methyl- β -D-erythropentofuranosyl]-5-(methylenehydrazino)-7H-pyrrolo[2,3-d]pyrimidin-4-yl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 913189-21-6 CAPLUS

Acetamide, N-[7-[(2R)-2-deoxy-2-fluoro-2-methyl- β -D-erythropentofuranosyl]-5-[(hydroxyimino)methyl]-7H-pyrrolo[2,3-d]pyrimidin-4-yl]-CN (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

RN

INDEX NAME)

913189-24-9 CAPLUS
4H-Pyrrolo[2,3-d]pyrimidin-4-one, 7-[(2R)-2-deoxy-2-fluoro-2-methyl-β-D-erythro-pentofuranosyl]-1,7-dihydro-5-(phenylethynyl)-, 0-ethyloxime CN

Absolute stereochemistry.

913189-25-0 CAPLUS RN

 $7 H-Pyrrolo[2,3-d] pyrimidine-5-carboxaldehyde, \ 7-[(2R)-2-deoxy-2-fluoro-2-methyl-\beta-D-erythro-pentofuranosyl]-4-(ethoxyamino)- (9CI) \ (CA INDEX I$ CN NAME)

Absolute stereochemistry.

913189-26-1 CAPLUS

Boronic acid, $[7-[(2R)-2-deoxy-2-fluoro-2-methyl-\beta-D-erythro-pentofuranosyl]-4-(ethoxyamino)-7H-pyrrolo[2,3-d]pyrimidin-5-yl]- (9CI)$ CN (CA INDEX NAME)

Absolute stereochemistry.

RN 913189-27-2 CAPLUS

CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 7-[(2R)-2-deoxy-2-fluoro-2-methyl-β-D-erythro-pentofuranosyl]-5-ethynyl-1,7-dihydro-, O-ethyloxime (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 913189-28-3 CAPLUS

CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 7-[(2R)-2-deoxy-2-fluoro-2-methyl-βD-erythro-pentofuranosyl]-1,7-dihydro-5-[2-(trimethylsilyl)ethynyl]-,
O-ethyloxime (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 913189-29-4 CAPLUS

CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 7-[(2R)-2-deoxy-2-fluoro-2-methyl-β-D-erythro-pentofuranosyl]-5-ethenyl-1,7-dihydro-, O-ethyloxime (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 913189-30-7 CAPLUS

CN Formaldehyde, [7-[(2R)-2-deoxy-2-fluoro-2-methyl-β-D-erythropentofuranosyl]-4-(ethoxyamino)-7H-pyrrolo[2,3-d]pyrimidin-5-yl]hydrazone
(9CI) (CA INDEX NAME)

RN

913189-31-8 CAPLUS 7H-Pyrrolo[2,3-d]pyrimidine-5-carboxaldehyde, 7-[(2R)-2-deoxy-2-fluoro-2-CN methyl- β -D-erythro-pentofuranosyl]-4-(ethoxyamino)-, oxime (9CI) (CA

Absolute stereochemistry. Double bond geometry unknown.

RN

913189-32-9 CAPLUS 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, 7-[(2R)-2-chloro-2-deoxy-2-methyl- β -D-erythro-pentofuranosyl]-5-nitro- (9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

913189-33-0 CAPLUS RN

7H-Pyrrolo[2,3-d]pyrimidin-4-amine, 7-[(2R)-2-chloro-2-deoxy-2-methyl-CN β-D-erythro-pentofuranosyl]-5-(phenylethynyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

913189-34-1 CAPLUS RN

 $\label{eq:hamiltonian} $$7$H-Pyrrolo[2,3-d]$ pyrimidine-5-carboxaldehyde, $4-amino-7-[(2R)-2-chloro-2-deoxy-2-methyl-$\beta-D-erythro-pentofuranosyl]- (9CI) (CA INDEX NAME)$

Absolute stereochemistry.

RN 913189-35-2 CAPLUS

Boronic acid, [4-amino-7-[(2R)-2-chloro-2-deoxy-2-methyl- β -D-erythropentofuranosyl]-7H-pyrrolo[2,3-d]pyrimidin-5-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN

913189-36-3 CAPLUS 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, 7-[(2R)-2-chloro-2-deoxy-2-methyl-CN β-D-erythro-pentofuranosyl]-5-ethynyl- (9CI) (CA INDEX NAMÉ)

Absolute stereochemistry.

RN

913189-37-4 CAPLUS
7H-Pyrrolo[2,3-d]pyrimidin-4-amine, 7-[(2R)-2-chloro-2-deoxy-2-methyl-CN β -D-erythro-pentofuranosyl]-5-[2-(trimethylsilyl)ethynyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

913189-38-5 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, 7-[(2R)-2-chloro-2-deoxy-2-methylβ-D-erythro-pentofuranosyl]-5-ethenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 913189-39-6 CAPLUS

Formaldehyde, [4-amino-7-[(2R)-2-chloro-2-deoxy-2-methyl- β -D-erythropentofuranosyl]-7H-pyrrolo[2,3-d]pyrimidin-5-yl]hydrazone (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN

913189-40-9 CAPLUS
7H-Pyrrolo[2,3-d]pyrimidine-5-carboxaldehyde, 4-amino-7-[(2R)-2-chloro-2-CN deoxy-2-methyl- β -D-erythro-pentofuranosyl]-, oxime (9CI) (CA INDEX

Absolute stereochemistry. Double bond geometry unknown.

RN 913189-41-0 CAPLUS

 $4 \\ H-Pyrrolo[2,3-d] \\ pyrimidin-4-one, \\ 7-[(2R)-2-chloro-2-deoxy-2-methyl-\beta-deoxy-2-methyl-3-methy$ CN D-erythro-pentofuranosyl]-1,7-dihydro-5-nitro-, oxime (9CI) (CA INDEX

RN

913189-42-1 CAPLUS 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 7-[(2R)-2-chloro-2-deoxy-2-methyl- β -CN D-erythro-pentofuranosyl]-1,7-dihydro-5-(phenylethynyl)-, oxime (9CI) (CA

Absolute stereochemistry.

913189-43-2 CAPLUS RN

CN 7H-Pyrrolo[2,3-d]pyrimidine-5-carboxaldehyde, 7-[(2R)-2-chloro-2-deoxy-2methyl-β-D-erythro-pentofuranosyl]-4-(hydroxyamino)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

913189-44-3 CAPLUS

Boronic acid, $[7-[(2R)-2-chloro-2-deoxy-2-methyl-\beta-D-erythro-pentofuranosyl]-4-(hydroxyamino)-7H-pyrrolo[2,3-d]pyrimidin-5-yl]- (9CI)$ (CA INDEX NAME)

Absolute stereochemistry.

RN 913189-45-4 CAPLUS

CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 7-[(2R)-2-chloro-2-deoxy-2-methyl-β-D-erythro-pentofuranosyl]-5-ethynyl-1,7-dihydro-, oxime (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 913189-46-5 CAPLUS

CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 7-[(2R)-2-chloro-2-deoxy-2-methyl-β-D-erythro-pentofuranosyl]-1,7-dihydro-5-[(trimethylsilyl)ethynyl]-, oxime (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 913189-47-6 CAPLUS

CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 7-[(2R)-2-chloro-2-deoxy-2-methyl- β -D-erythro-pentofuranosyl]-5-ethenyl-1,7-dihydro-, oxime (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 913189-48-7 CAPLUS

CN Formaldehyde, [7-[(2R)-2-chloro-2-deoxy-2-methyl-β-D-erythropentofuranosyl]-4-(hydroxyamino)-7H-pyrrolo[2,3-d]pyrimidin-5-yl]hydrazone (9CI) (CA INDEX NAME)

RN 913189-49-8 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidine-5-carboxaldehyde, $7-[(2R)-2-chloro-2-deoxy-2-methyl-\beta-D-erythro-pentofuranosyl]-4-(hydroxyamino)-, oxime (9CI) (CA INDEX NAME)$

Absolute stereochemistry. Double bond geometry unknown.

RN 913189-50-1 CAPLUS

CN Acetamide, N-[7-[(2R)-2-chloro-2-deoxy-2-methyl-β-D-erythro-pentofuranosyl]-5-nitro-7H-pyrrolo[2,3-d]pyrimidin-4-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 913189-51-2 CAPLUS

CN Acetamide, N-[7-[(2R)-2-chloro-2-deoxy-2-methyl- β -D-erythropentofuranosyl]-5-(phenylethynyl)-7H-pyrrolo[2,3-d]pyrimidin-4-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

913189-52-3 CAPLUS Acetamide, N-[7-[(2R)-2-chloro-2-deoxy-2-methyl- β -D-erythro-RN CN pentofuranosyl]-5-formyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN

913189-53-4 CAPLUS Boronic acid, [4-(acetylamino)-7-[(2R)-2-chloro-2-deoxy-2-methyl- β -D-erythro-pentofuranosyl]-7H-pyrrolo[2,3-d]pyrimidin-5-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

913189-54-5 CAPLUS Acetamide, N-[7-[(2R)-2-chloro-2-deoxy-2-methyl- β -D-erythro-CN pentofuranosyl]-5-ethynyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

913189-55-6 CAPLUS

Acetamide, $N-[7-[(2R)-2-chloro-2-deoxy-2-methyl-\beta-D-erythro$ pentofuranosyl]-5-[(trimethylsilyl)ethynyl]-7H-pyrrolo[2,3-d]pyrimidin-4yl] - (9CI) (CA INDEX NAME)

RN 913189-56-7 CAPLUS

Acetamide, N-[7-[(2R)-2-chloro-2-deoxy-2-methyl-β-D-erythropentofuranosyl]-5-ethenyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 913189-57-8 CAPLUS

CN Acetamide, N-[7-[(2R)-2-chloro-2-deoxy-2-methyl-β-D-erythro-pentofuranosyl]-5-(methylenehydrazino)-7H-pyrrolo[2,3-d]pyrimidin-4-yl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 913189-58-9 CAPLUS

CN Acetamide, N-[7-[(2R)-2-chloro-2-deoxy-2-methyl-β-D-erythropentofuranosyl]-5-[(hydroxyimino)methyl]-7H-pyrrolo[2,3-d]pyrimidin-4-yl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

913189-59-0 CAPLUS RN

4H-Pyrrolo[2,3-d]pyrimidin-4-one, 7-[(2R)-2-chloro-2-deoxy-2-methyl-β-CN D-erythro-pentofuranosyl]-1,7-dihydro-5-nitro-, O-ethyloxime (9CI) (CA INDEX NAME)

Absolute stereochemistry.

913189-60-3 CAPLUS
4H-Pyrrolo[2,3-d]pyrimidin-4-one, 7-[(2R)-2-chloro-2-deoxy-2-methyl-β-D-erythro-pentofuranosyl]-1,7-dihydro-5-(phenylethynyl)-, O-ethyloxime CN

Absolute stereochemistry.

913189-61-4 CAPLUS RN

7H-Pyrrolo[2,3-d]pyrimidine-5-carboxaldehyde, 7-[(2R)-2-chloro-2-deoxy-2methyl- β -D-erythro-pentofuranosyl]-4-(ethoxyamino)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

913189-62-5 CAPLUS

Boronic acid, [7-[(2R)-2-chloro-2-deoxy-2-methyl-β-D-erythropentofuranosyl]-4-(ethoxyamino)-7H-pyrrolo[2,3-d]pyrimidin-5-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN

RN

913189-63-6 CAPLUS 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 7-[(2R)-2-chloro-2-deoxy-2-methyl- β -D-erythro-pentofuranosyl]-5-ethynyl-1,7-dihydro-, O-ethyloxime (9CI) (CA CN

Absolute stereochemistry.

EtO NH C CH
$$R$$
 R R O OH R R R OH

913189-64-7 CAPLUS RN

HH-Pyrrolo[2,3-d)pyrimidin-4-one, 7-[(2R)-2-chloro-2-deoxy-2-methyl-β-D-erythro-pentofuranosyl]-1,7-dihydro-5-[(trimethylsilyl)ethynyl]-, O-ethyloxime (9CI) (CA INDEX NAME)

Absolute stereochemistry.

913189-65-8 CAPLUS

CN $4 \\ H-Pyrrolo[2,3-d] \\ pyrimidin-4-one, \\ 7-[(2R)-2-chloro-2-deoxy-2-methyl-\beta-deoxy-2-methyl-3-deoxy-3-deoxy-2-methyl-3-deoxy-2-methyl-3-deoxy-3-deoxy-2-methyl-3-deoxy-3-deoxy-3-deoxy-3-deoxy$ D-erythro-pentofuranosyl]-5-ethenyl-1,7-dihydro-, O-ethyloxime (9CI) (CA INDEX NAME)

Absolute stereochemistry.

913189-66-9 CAPLUS Formaldehyde, [7-[(2R)-2-chloro-2-deoxy-2-methyl- β -D-erythropentofuranosyl]-4-(ethoxyamino)-7H-pyrrolo[2,3-d]pyrimidin-5-yl]hydrazone (9CI) (CA INDEX NAME)

Absolute stereochemistry.

913189-67-0 CAPLUS

7H-Pyrrolo[2,3-d]pyrimidine-5-carboxaldehyde, 7-[(2R)-2-chloro-2-deoxy-2-CN methyl-β-D-erythro-pentofuranosyl]-4-(ethoxyamino)-, oxime (9CI) (CA

Absolute stereochemistry. Double bond geometry unknown.

913189-68-1 CAPLUS $7\text{H-Pyrrolo[2,3-d]pyrimidin-4-amine, 7-[(2R)-2-bromo-2-deoxy-2-methyl-\beta-D-erythro-pentofuranosyl]-5-nitro- (9CI) (CA INDEX NAME) }$

Absolute stereochemistry.

RN

913189-69-2 CAPLUS
7H-Pyrrolo[2,3-d]pyrimidin-4-amine, 7-[(2R)-2-bromo-2-deoxy-2-methyl-CN β-D-erythro-pentofuranosyl]-5-(phenylethynyl)- (9CI) (CA INDEX NAME)

RN 913189-70-5 CAPLUS

7H-Pyrrolo[2,3-d]pyrimidine-5-carboxaldehyde, 4-amino-7-[(2R)-2-bromo-2deoxy-2-methyl- β -D-erythro-pentofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 913189-71-6 CAPLUS

Boronic acid, [4-amino-7-[(2R)-2-bromo-2-deoxy-2-methyl- β -D-erythro-CN pentofuranosyl]-7H-pyrrolo[2,3-d]pyrimidin-5-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

913189-72-7 CAPLUS

7H-Pyrrolo[2,3-d]pyrimidin-4-amine, 7-[(2R)-2-bromo-2-deoxy-2-methyl- β -D-erythro-pentofuranosyl]-5-ethynyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN

CN β -D-erythro-pentofuranosyl]-5-[(trimethylsilyl)ethynyl]- (9CI) (CA INDEX NAME)

RN

Absolute stereochemistry.

913189-74-9 CAPLUS 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, 7-[(2R)-2-bromo-2-deoxy-2-methyl- β -D-erythro-pentofuranosyl]-5-ethenyl- (9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

RN

913189-75-0 CAPLUS Formaldehyde, [4-amino-7-[(2R)-2-bromo-2-deoxy-2-methyl- β -D-erythropentofuranosyl]-7H-pyrrolo[2,3-d]pyrimidin-5-yl}hydrazone (9CI) (CA INDEX CN

Absolute stereochemistry.

RN

913189-76-1 CAPLUS
7H-Pyrrolo[2,3-d]pyrimidine-5-carboxaldehyde, 4-amino-7-[(2R)-2-bromo-2-CN deoxy-2-methyl-β-D-erythro-pentofuranosyl]-, oxime (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

RN

913190-13-3 CAPLUS L-Valine, 5'-ester with 7-[(2R)-2-deoxy-2-fluoro-2-methyl- β -D-erythro-CN pentofuranosyl]-5-ethynyl-7H-pyrrolo[2,3-d]pyrimidin-4-amine (9CI) (CA

Absolute stereochemistry.

RN 913190-14-4 CAPLUS

CN

Absolute stereochemistry.

RN 913190-15-5 CAPLUS

7H-Pyrrolo[2,3-d]pyrimidin-4-amine, 7-[(2R)-5-O-[bis[2-[(3-methyl-1-oxobutyl)thio]ethoxy]phosphinyl]-2-deoxy-2-fluoro-2-methyl- β -D-erythro-pentofuranosyl]-5-ethynyl- (9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

NH2
$$C = CH$$

NH2 $C = CH$

N

913190-16-6 CAPLUS

CN L-Alanine, N-[hydroxy(4-methoxyphenoxy)phosphinyl]-, methyl ester,
5'-ester with 7-[(2R)-2-deoxy-2-fluoro-2-methyl-β-D-erythropentofuranosyl]-5-ethynyl-7H-pyrrolo[2,3-d]pyrimidin-4-amine (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

RN 913190-17-7 CAPLUS

L-Alanine, N-[(4-fluorophenoxy)hydroxyphosphinyl]-, methyl ester, 5'-ester
with 7-[(2R)-2-deoxy-2-fluoro-2-methyl-β-D-erythro-pentofuranosyl]-5ethynyl-7H-pyrrolo[2,3-d]pyrimidin-4-amine (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 913190-18-8 CAPLUS

CN L-Alanine, N-(hydroxyphenoxyphosphinyl)-, ethyl ester, 5'-ester with
7-[(2R)-2-deoxy-2-fluoro-2-methyl-β-D-erythro-pentofuranosyl]-5ethynyl-7H-pyrrolo[2,3-d]pyrimidin-4-amine (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 913190-19-9 CAPLUS

CN L-Alanine, N-[hydroxy(4-methylphenoxy)phosphinyl]-, methyl ester, 5'-ester with 7-[(2R)-2-deoxy-2-fluoro-2-methyl-β-D-erythro-pentofuranosyl]-5-ethynyl-7H-pyrrolo[2,3-d]pyrimidin-4-amine (9CI) (CA INDEX NAME)

RN

913190-20-2 CAPLUS L-Alanine, N-[hydroxy(4-propylphenoxy)phosphinyl]-, methyl ester, 5'-ester CN with 7-[(2R)-2-deoxy-2-fluoro-2-methyl-β-D-erythro-pentofuranosyl]-5-ethynyl-7H-pyrrolo[2,3-d]pyrimidin-4-amine (9CI) (CA INDEX NAME)

Absolute stereochemistry.

913190-21-3 CAPLUS RN

L-Alanine, N-(hydroxyphenoxyphosphinyl)-, phenylmethyl ester, 5'-ester with 7-[(2R)-2-deoxy-2-fluoro-2-methyl- β -D-erythro-pentofuranosyl]-5-ethynyl-7H-pyrrolo[2,3-d]pyrimidin-4-amine (9CI) (CA INDEX NAME)

Absolute stereochemistry.

913190-22-4 CAPLUS

L-Alanine, N-[hydroxy(2-naphthalenyloxy)phosphinyl]-, phenylmethyl ester,5'-ester with 7-[(2R)-2-deoxy-2-fluoro-2-methyl-β-D-erythro-pentofuranosyl]-5-ethynyl-7H-pyrrolo[2,3-d]pyrimidin-4-amine (9CI) INDEX NAME)

RN 913190-23-5 CAPLUS

CN L-Alanine, N-[hydroxy(1-naphthalenyloxy)phosphinyl]-, phenylmethyl ester, 5'-ester with 7-[(2R)-2-deoxy-2-fluoro-2-methyl-β-D-erythro-pentofuranosyl]-5-ethynyl-7H-pyrrolo[2,3-d]pyrimidin-4-amine (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 913190-24-6 CAPLUS

CN L-Alanine, N-[[(4-chloro-1-naphthalenyl)oxy]hydroxyphosphinyl]-,
 phenylmethyl ester, 5'-ester with 7-[(2R)-2-deoxy-2-fluoro-2-methyl-β D-erythro-pentofuranosyl]-5-ethynyl-7H-pyrrolo[2,3-d]pyrimidin-4-amine
 (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 913190-25-7 CAPLUS

CN L-Alanine, N-[hydroxy[(4-methoxy-1-naphthalenyl)oxy]phosphinyl]-, phenylmethyl ester, 5'-ester with 7-[(2R)-2-deoxy-2-fluoro-2-methyl-β-D-erythro-pentofuranosyl]-5-ethynyl-7H-pyrrolo[2,3-d]pyrimidin-4-amine (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 913190-26-8 CAPLUS

CN L-Phenylalanine, N-(hydroxyphenoxyphosphinyl)-, methyl ester, 5'-ester

with 7-[(2R)-2-deoxy-2-fluoro-2-methyl- β -D-erythro-pentofuranosyl]-5-ethynyl-7H-pyrrolo[2,3-d]pyrimidin-4-amine (9CI) (CA INDEX NAME)

Absolute stereochemistry.

913190-27-9 CAPLUS RN

L-Phenylalanine, N-[hydroxy(4-methoxyphenoxy)phosphinyl]-, methyl ester, 5'-ester with 7-[(2R)-2-deoxy-2-fluoro-2-methyl- β -D-erythropentofuranosyl]-5-ethynyl-7H-pyrrolo[2,3-d]pyrimidin-4-amine (9CI) INDEX NAME)

Absolute stereochemistry.

RN 913190-28-0 CAPLUS

L-Phenylalanine, N-[(4-fluorophenoxy)hydroxyphosphinyl]-, methyl ester, 5'-ester with 7-[(2R)-2-deoxy-2-fluoro-2-methyl- β -D-erythropentofuranosyl]-5-ethynyl-7H-pyrrolo[2,3-d]pyrimidin-4-amine (9CI) (CA) CN INDEX NAME)

Absolute stereochemistry.

RN

913190-29-1 CAPLUS L-Phenylalanine, N-[hydroxy(4-methylphenoxy)phosphinyl]-, methyl ester, CN 5'-ester with 7-[(2R)-2-deoxy-2-fluoro-2-methyl- β -D-erythropentofuranosyl]-5-ethynyl-7H-pyrrolo[2,3-d]pyrimidin-4-amine (9CI) (CA INDEX NAME)

RN 913190-30-4 CAPLUS

CN L-Valine, N-(hydroxyphenoxyphosphinyl)-, methyl ester, 5'-ester with 7-[(2R)-2-deoxy-2-fluoro-2-methyl-β-D-erythro-pentofuranosyl]-5-ethynyl-7H-pyrrolo[2,3-d]pyrimidin-4-amine (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 913190-31-5 CAPLUS

N L-Valine, N-[hydroxy(4-methoxyphenoxy)phosphinyl]-, methyl ester, 5'-ester with 7-((2R)-2-deoxy-2-fluoro-2-methyl-β-D-erythro-pentofuranosyl]-5-ethynyl-7H-pyrrolo[2,3-d]pyrimidin-4-amine (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 913190-32-6 CAPLUS

L-Valine, N-[(4-fluorophenoxy)hydroxyphosphinyl]-, methyl ester, 5'-ester with 7-[(2R)-2-deoxy-2-fluoro-2-methyl-β-D-erythro-pentofuranosyl]-5-ethynyl-7H-pyrrolo[2,3-d]pyrimidin-4-amine (9CI) (CA INDEX NAME)

RN 913190-33-7 CAPLUS

CN L-Valine, N-[hydroxy(4-methylphenoxy)phosphinyl]-, methyl ester, 5'-ester
with 7-[(2R)-2-deoxy-2-fluoro-2-methyl-β-D-erythro-pentofuranosyl]-5ethynyl-7H-pyrrolo[2,3-d]pyrimidin-4-amine (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 913190-34-8 CAPLUS

CN Alanine, N-(hydroxyphenoxyphosphinyl)-2-methyl-, methyl ester, 5'-ester with 7-[(2R)-2-deoxy-2-fluoro-2-methyl- β -D-erythro-pentofuranosyl]-5-ethynyl-7H-pyrrolo[2,3-d]pyrimidin-4-amine (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 913190-35-9 CAPLUS

CN Alanine, N-(hydroxyphenoxyphosphinyl)-2-methyl-, phenylmethyl ester, 5'-ester with 7-[(2R)-2-deoxy-2-fluoro-2-methyl-β-D-erythro-pentofuranosyl]-5-ethynyl-7H-pyrrolo[2,3-d]pyrimidin-4-amine (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 913190-36-0 CAPLUS

CN Alanine, N-[(4-fluorophenoxy)hydroxyphosphinyl]-2-methyl-, methyl ester,
5'-ester with 7-[(2R)-2-deoxy-2-fluoro-2-methyl-β-D-erythropentofuranosyl]-5-ethynyl-7H-pyrrolo[2,3-d]pyrimidin-4-amine (9CI) (CA
INDEX NAME)

RN 913190-37-1 CAPLUS

CN Alanine, N-[hydroxy(4-methoxyphenoxy)phosphinyl]-2-methyl-, methyl ester, 5'-ester with 7-[(2R)-2-deoxy-2-fluoro-2-methyl-β-D-erythropentofuranosyl]-5-ethynyl-7H-pyrrolo[2,3-d]pyrimidin-4-amine (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 913190-38-2 CAPLUS

CN L-Proline, 1-(hydroxyphenoxyphosphinyl)-, methyl ester, 5'-ester with
7-[(2R)-2-deoxy-2-fluoro-2-methyl-β-D-erythro-pentofuranosyl]-5ethynyl-7H-pyrrolo[2,3-d]pyrimidin-4-amine (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 913190-39-3 CAPLUS

CN L-Proline, 1-(hydroxyphenoxyphosphinyl)-, phenylmethyl ester, 5'-ester
with 7-[(2R)-2-deoxy-2-fluoro-2-methyl-β-D-erythro-pentofuranosyl]-5ethynyl-7H-pyrrolo[2,3-d]pyrimidin-4-amine (9CI) (CA INDEX NAME)

RN 913190-40-6 CAPLUS

CN L-Proline, 1-[(4-fluorophenoxy)hydroxyphosphinyl]-, methyl ester, 5'-ester with 7-[(2R)-2-deoxy-2-fluoro-2-methyl-β-D-erythro-pentofuranosyl]-5-ethynyl-7H-pyrrolo[2,3-d]pyrimidin-4-amine (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 913190-41-7 CAPLUS

CN L-Proline, 1-[hydroxy(4-methoxyphenoxy)phosphinyl]-, methyl ester,
5'-ester with 7-[(2R)-2-deoxy-2-fluoro-2-methyl-β-D-erythropentofuranosyl]-5-ethynyl-7H-pyrrolo[2,3-d]pyrimidin-4-amine (CA INDEX NAME)

Absolute stereochemistry.

IT 913188-88-2P 913188-89-3P 913188-90-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of nucleoside analogs for treating Flaviviridae family viral infections)

RN 913188-88-2 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidine, 4-chloro-7-[(2R)-2-deoxy-2-fluoro-2-methyl-3,5-bis-O-(tetrahydro-2H-pyran-2-yl)- β -D-erythro-pentofuranosyl]-5-iodo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

N 913188-89-3 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidine, 4-chloro-7-[(2R)-2-deoxy-2-fluoro-2-methyl-β-D-erythro-pentofuranosyl]-5-iodo- (9CI) (CA INDEX NAME)

RN 913188-90-6 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, 7-[(2R)-2-deoxy-2-fluoro-2-methylβ-D-erythro-pentofuranosyl]-5-iodo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

```
ANSWER 4 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN
L4
     2006:985303 CAPLUS
AN
DN
     145:505687
     Synthesis of 2-deoxy-2-fluoro-2-C-methyl-D-ribofuranoses Clark, Jeremy L.; Mason J. Christian; Hobbs, Ann J.; Hollecker, Laurent;
ΤI
ΑU
     Schinazi, Raymond F.
     Pharmasset, Inc., Tucker, GA, USA
Journal of Carbohydrate Chemistry (2006), 25(6), 461-470
CS
so
     CODEN: JCACDM; ISSN: 0732-8303
Taylor & Francis, Inc.
PB
DT
     Journal
LA
     English
os
     CASREACT 145:505687
     The synthesis of Me 3,5-di-O-benzoyl-2-deoxy-2-fluoro-2-C-methyl-\beta-D-
     ribofuranoside and the conversion to the corresponding
     1-O-acetyl-3,5-di-O-benzoyl-2-deoxy-2-fluoro-2-C-methyl-D-ribofuranose and
     1,3,5-tri-O-benzoyl-2-deoxy-2-fluoro-2-C-methyl-D-ribofuranose is
     reported. The key synthetic step is the fluorination of the tertiary
     center of Me 3,5-di-O-benzyl-2-C-methyl-\beta-D-arabinofuranoside to
     provide Me 3,5-di-O-benzyl-2-deoxy-2-fluoro-2-C-methyl-\beta-D-
     ribofuranoside.
TT
     817204-32-3P 874638-94-5P
     RL: SPN (Synthetic preparation); PREP (Preparation)
         (synthesis of 2-deoxy-2-fluoro-2-C-methyl-D-ribofuranoses via
         fluorination of the tertiary center of Me 3,5-di-O-benzyl-2-C-methyl-
         \beta-D-arabinofuranosides)
RN
     817204-32-3 CAPLUS
CN
     Cytidine, N-benzoyl-2'-deoxy-2'-fluoro-2'-methyl-, 3',5'-dibenzoate,
     (2'R) - (9CI) (CA INDEX NAME)
```

Absolute stereochemistry. Rotation (+).

RN 874638-94-5 CAPLUS

CN Benzamide, N-[1-[(2R)-3,5-di-O-benzoyl-2-deoxy-2-fluoro-2-methyl- α -D-erythro-pentofuranosyl]-1,2-dihydro-2-oxo-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L4
     ANSWER 5 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN
     2006:603846 CAPLUS
AN
DN
     145:76603
TI
     Fluorinated pyrrolo[2,3-d]pyrimidine nucleosides for the treatment of
     RNA-dependent RNA viral infection
     Maccoss, Malcolm; Olsen, David B.; Leone, Joseph; Durette, Philippe L.
IN
     Merck & Co., Inc., USA
PA
SO
     PCT Int. Appl., 46 pp.
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 1
     PATENT NO.
                            KIND
                                   DATE
                                                 APPLICATION NO.
                                                                          DATE
PT
     WO 2006065335
                            A2
                                   20060672
                                                 WO 2005-US37224
                                                                          20051017
     WO 2006065335
                             А3
                                   20060914
              AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
              CN, CO, CR, CU, CZ, DE, \etaK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
              GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ,
              LC, LK, LR, LS, LT, LU,
                                         LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ,
              NA, NG, NI, NO, NZ, OM,
                                        PG, PH, PL, PT, RO, RU, SC, SD, SE, SG,
              SK, SL,
                                         TN, TR, TT, TZ,
                       SM,
                           SY, TJ, TM,
                                                          UA, UG,
                                                                   US, UZ, VC,
              YU, ZA, ZM, ZW
          RW: AT, BE, BG, CH, CY, CZ,
                                         DE, DK, EE, ES, FI, FR,
                                                                    GB, GR, HU, IE,
              IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
              GM, KE, LS, MW, MZ, NA
                                        SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
              KG, KZ, MD,
                           RU,
                                TJ, TM
PRAI US 2004-620743P
                             P
                                   20041021
     US 2005-651366P
                                   20050209
os
     MARPAT 145:76603
AB
     The present invention provides fluorinated pyrrolo[2,3, d]pyrimidine
     nucleoside compds. which are inhibitors of RNA-dependent RNA viral
     polymerase. These compds. are inhibitors of RNA-dependent RNA viral
     replication and are useful for the treatment of RNA-dependent RNA viral infection. They are particularly useful as precursors to inhibitors of
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hepatitis C virus (HCV) NS5B polymerase, as precursors to inhibitors of

HCV replication, and/or for the treatment of hepatitis C infection. The invention also describes pharmaceutical compns. containing such fluorinated pyrrolo[2,3-d]pyrimidine nucleoside alone or in combination with otheragents active against RNA-dependent RNA viral infection, in particular HCV infection. Also disclosed are methods of inhibiting RNA-dependent RNA polymerase, inhibiting RNA-dependent RNA viral replication, and/or treating RNA-dependent RNA viral infection with the fluorinated pyrrolo[2,3- d]pyrimidine nucleoside of the present invention. 892389-29-6 892389-31-0

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(fluorinated pyrrolopyrimidine nucleosides for treatment of RNA-dependent RNA viral infection)

RN 892389-29-6 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidine-2,4-diamine, 7-[(2R)-2-deoxy-2-fluoro-2-methylβ-D-erythro-pentofuranosyl]-5-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 892389-31-0 CAPLUS

4H-Pyrrolo[2,3-d]pyrimidin-4-one, 2-amino-7-[(2R)-2-deoxy-2-fluoro-2methyl- β -D-erythro-pentofuranosyl]-5-fluoro-1,7-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$H_2N$$
 H_2N
 H_2N
 H_3N
 H_4N
 H_4N

ΙT 892389-27-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(fluorinated pyrrolopyrimidine nucleosides for treatment of RNA-dependent RNA viral infection)

RN

892389-27-4 CAPLUS
7H-Pyrrolo[2,3-d]pyrimidine, 4-chloro-7-[(2R)-3,5-di-O-acetyl-2-deoxy-2-CN fluoro-2-methyl- β -D-erythro-pentofuranosyl]-5-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

McIntosh

IT 892389-10-5P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (fluorinated pyrrolopyrimidine nucleosides for treatment of
 RNA-dependent RNA viral infection)
RN 892389-10-5 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, 7-[(2R)-2-deoxy-2-fluoro-2-methyl-β-D-erythro-pentofuranosyl]-5-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN 2006:478128 CAPLUS ΑN 145:202057 Inhibition of hepatitis C replicon RNA synthesis by $\beta\text{-D-2'-deoxy-2'-}$ fluoro-2'-C-methylcytidine: a specific inhibitor of hepatitis C virus Stuyver, Lieven J.; McBrayer, Tamara R.; Tharnish, Phillip M.; Clark, Jeremy; Hollecker, Laurent; Lostia, Stefania; Nachman, Tammy; Grier, Jason; Bennett, Matthew A.; Xie, Meng-Yu; Schinazi, Raymond F.; Morrey, John D.; Julander, Justin L.; Furman, Phillip A.; Otto, Michael J. Pharmasset Inc, Princeton, NJ, USA CS Antiviral Chemistry & Chemotherapy (2006), 17(2), 79-87 CODEN: ACCHEH; ISSN: 0956-3202 SO PB International Medical Press, Ltd. DT Journal LA English β -D-2'-Deoxy-2'-fluoro-2'-C-methylcytidine (PSI-6130) is a cytidine analog with potent and selective anti-hepatitis C virus (HCV) activity in the subgenomic HCV replicon assay, 90% effective concentration (EC90) = 4.6 ± 2.0 μM . The spectrum of activity and cytotoxicity profile of PSI-6130 was evaluated against a diverse panel of viruses and cell types, and against two addnl. HCV-1b replicons. The S282T mutation, which confers resistance to 2'-C-Me adenosine and other 2'-methylated nucleosides, showed only a 6.5-fold increase in EC90. When assayed for activity against bovine diarrhoea virus (BVDV), which is typically used as a surrogate assay to identify compds. active against HCV, PSI-6130 showed no anti-BVDV activity. Weak antiviral activity was noted against other flaviviruses, including West Nile virus, Dengue type 2, and yellow fever virus. These results indicate that PSI-6130 is a specific inhibitor of HCV. PSI-6130 showed little or no cytotoxicity against various cell types, including human peripheral blood mononuclear and human bone marrow progenitor cells. No mitochondrial toxicity was observed with PSI-6130. The reduced activity against the RdRp S282T mutant suggests that PSI-6130 is an inhibitor of replicon RNA synthesis. Finally, the no-effect dose for mice treated i.p. with PSI-6130 for six consecutive days was ≥ 100 mg/kg per day. 817204-33-4, PSI 6130 RL: ADV (Adverse effect, including toxicity); DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (PSI-6130 inhibition of hepatitis C replicon RNA synthesis) 817204-33-4 CAPLUS RN Cytidine, 2'-deoxy-2'-fluoro-2'-methyl-, (2'R)- (9CI) (CA INDEX NAME) CN

Absolute stereochemistry. Rotation (+).

RE.CNT 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L4
      ANSWER 7 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN
      2006:269477 CAPLUS
AN
DN
      144:312289
ТT
      Preparation of alkyl-substituted 2-deoxy-2-fluoro-D-ribofuranosyl
      pyrimidine and purine nucleoside analogs via condensation of the lactone
      to nucleosides as potential antiviral agents
Chun, Byoung-Kwom, Wang, Peiyuan
ΤN
      Pharmasset, Inc., USA
PCT Int. Appl., 74 pp.
CODEN: BIXXD2
PA
SO
DТ
      Patent
      English
LA
FAN.CNT 1
      PATENT NO.
                                KIND
                                         DATE
                                                        APPLICATION NO.
                                                                                      DATE
                                ----
ΡI
      WO 2006031725
                                         20060323
                                 A2
                                                        WO 2005-US32406
                                                                                      20050913
           W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
                CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
                GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK,
                SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU,
                ZA, ZM, ZW
           RW: AT, BE, BG, CH, CY, CZ
                                              DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
                IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GV, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
                GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
                                RU, TJ, TM
A1 20060608
                KG, KZ, MD,
      US 2006122146
                                                        US 2005-225425
                                                                                      20050913
PRAI US 2004-609783P
                                 Р
                                         2/0040914
                                         20040915
20050329
      US 2004-610035P
                                 P
      US 2005-666230P
      MARPAT 144:312289
OS
GI
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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

A process for preparing of 2-deoxy-2-fluoro-2-methyl-D-ribonolactones, I, wherein R1 and R2 can independently be H, CH3, acetyl, benzoyl, pivaloyl, 4-nitrobenzoyl, 3-nitrobenzoyl, 2-nitrobenzoyl, 4-chlorobenzoyl, 3-chlorobenzoyl, 2-chlorobenzoyl, 4-methylbenzoyl, 3-methylbenzoyl, 2-methylbenzoyl, 4-phenylbenzoyl, benzyl, 4-methoxybenzyl, trityl, trialkylsilyl, t-butyl-dialkylsilyl, t-butyldiphenylsilyl, TIPDS, THP, MOM, or MEM are prepared and used in the condensation to 2-deoxy-2-fluoro-D-ribofuranosyl pyrimidine and purine nucleoside analogs. Thus, 2-deoxy-2-fluoro-D-ribofuranosyl pyrimidine and purine nucleoside analogs II and III, wherein X is a halogen; Y is N or CH; Z is a halogen, hydroxyl, ether, thiol, thioether, (un) substituted amine or alkyl; R1' is alkyl, vinyl, ethynyl; R2' and R3' can be same or different H, alkyl, arylalkyl, acyl, cyclic acetal such as 2',3'-O-isopropylidene or 2',3-O-benzylidene, or 2',3'-cyclic carbonate; R4, R5, and R6 are independently H, halogen, hydroxyl, ether, thiol, thioether, N3, (un)substituted amine, (un)substituted amido, alkyl, halogenated alkyl, alkenyl, halogenated alkenyl, alkynyl, halogenated alkynyl, hydroxy alkyl, alkoxy are prepared and are potential anti-HCV agents. Specifically, IV was prepared in 88 % yield via condensation, alkylation and stereoselective fluorination reactions and can exhibit potential use as an anti-HCV agent. IT 879551-07-2P

Absolute stereochemistry.

L4 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN 2006:128527 CAPLUS AN DN 144:370341 Synthesis and antiviral activity of 2'-deoxy-2'-fluoro-2'-C-methyl purine nucleosides as inhibitors of hepatitis C virus RNA replication ΑU Clark, Jeremy L.; Mason, J. Christian; Hollecker, Laurent; Stuyver, Lieven J.; Tharnish, Phillip M.; McBrayer, Tamara R.; Otto, Michael J.; Furman, Phillip A.; Schinazi, Raymond F.; Watanabe, Kyoichi A. Pharmasset, Inc., Tucker, GA, 30084, USA cs Bioorganic & Medicinal Chemistry Letters (2006) / 16(6), 1712-1715 SO CODEN: BMCLE8; ISSN: 0960-894X Elsevier B.V. DT Journal LA English

CASREACT 144:370341

os

A series of purine nucleosides, e.g. I, containing the 2'-deoxy-2'-fluoro-2'-Cmethylribofuranosyl moiety were synthesized and evaluated as potential inhibitors of the hepatitis C virus in vitro. Of the nucleosides that were synthesized, only those possessing a 2-amino group on the purine base reduced the levels of HCV RNA in a sub-genomic replicon assay. 881881-89-6P RL: BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT '(Reactant or reagent) (synthesis and antiviral activity of deoxyfluoromethyl purine nucleosides as inhibitors of hepatitis C virus RNA replication) RN 881881-89-6 CAPLUS CN 9H-Purin-2-amine, 6-chloro-9-[(2R)-2-deoxy-2-fluoro-2-methyl- β -Derythro-pentofuranosyl] - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Absolute stereochemistry.

RN 817204-45-8 CAPLUS
CN Guanosine, 2'-deoxy-2'-fluoro-2'-methyl-, (2'R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 818374-78-6 CAPLUS CN Adenosine, 2'-deoxy-2'-fluoro-2'-methyl-, (2'R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 881881-83-0 CAPLUS

CN 9H-Purin-2-amine, 6-chloro-9-[(2R)-3,5-di-O-acetyl-2-deoxy-2-fluoro-2-methyl- β -D-erythro-pentofuranosyl]-N-(triphenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 881881-88-5 CAPLUS

CN 9H-Purin-2-amine, 6-chloro-9-[(2R)-3,5-di-O-acetyl-2-deoxy-2-fluoro-2-methyl-β-D-erythro-pentofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2006:103884 CAPLUS

DN 144:171198

Preparation of alkyl-substituted 2-deoxy-2-fluoro-D-ribofuranosyl pyrimidine and purine nucleoside analogs via condensation of the lactone to nucleosides as potential antivital agents

to nucleosides as potential antiviral agents

IN Wang, Peiyuan; Stec, Wojciech; Clark, Jeremy; Chun, Byoung-Kwon; Shi,
Junxing; Du, Jinfa

PA Pharmasset, Inc., USA

SO

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PCT Int. Appl., 34 pp.
     CODEN: PIXXD2
DТ
     Patent
LA
     English
FAN.CNT 1
     PATENT NO.
                             KIND
                                     DATE
                                                   APPLICATION NO.
                                                                              DATE
     WO 2006012440
                              A2
                                     20060202
                                                   WO 2005-US25916
                                                                              20050721
     WO 2006012440
                              А3
                                     20060727
          W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
               CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
               GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ,
               LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA,
               NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK,
               SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU,
               ZA, ZM, ZW
          RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
              IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
               GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
               KG, KZ, MD, RU, TJ, TM
     AU 2005267051
                                     20060202
                              A1
                                                   AU 2005-267051
                                                                              20050721
     CA 2574651
                                     20060202
                                                   CA 2005-2574651
                              A1
                                                                              20050721
     EP 1773856
                                                   EP 2005-775359
                              A2
                                     20070418
                                                                              20050721
             AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR 6199783 A1 20060907 US 2006-353597 20060213
     US 2006199783
PRAI US 2004-589866P
                              Р
                                     20040721
     US 2004-608320P
                              Ρ
                                     20040909
     US 2005-185988
                              A1
                                     20050721
     WO 2005-US25916
                                     20050721
     MARPAT 144:171198
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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

```
A process for preparing of 2-deoxy-2-fluoro-2-methyl-D-ribonolactones, I,
wherein R1 and R2 can independently be H, CH3, acetyl, benzoyl, pivaloyl,
4-nitrobenzoyl, 3-nitrobenzoyl, 2-nitrobenzoyl, 4-chlorobenzoyl, 3-chlorobenzoyl, 2-chlorobenzoyl, 4-methylbenzoyl, 3-methylbenzoyl,
2-methylbenzoyl, 4-phenylbenzoyl, benzyl, 4-methoxybenzyl, trityl,
trialkylsilyl, t-butyl-dialkylsilyl, t-butyldiphenylsilyl, TIPDS, THP, MOM, or MEM are prepared and used in the condensation to
\hbox{$2$-$deoxy-$2$-fluoro-$D$-ribofuranosyl pyrimidine and purine nucleoside analogs.}
Thus, 2-deoxy-2-fluoro-D-ribofuranosyl pyrimidine and purine nucleoside
analogs II and III, wherein X is a halogen; Y is N or CH; Z is a halogen,
hydroxyl, ether, thiol, thioether, (un) substituted amine or alkyl; R1' alkyl, vinyl, ethynyl; R2' and R3' can be same or different H, alkyl,
arylalkyl, acyl, cyclic acetal such as 2',3'-O-isopropylidene or
2',3-O-benzylidene, or 2',3'-cyclic carbonate; R4, R5, and R6 are independently H, halogen, hydroxyl, ether, thiol, thioether, N3,
(un) substituted amine, (un) substituted amido, alkyl, halogenated alkyl,
alkenyl, halogenated alkenyl, alkynyl, halogenated alkynyl, hydroxy alkyl,
alkoxy are prepared and are potential anti-HCV agents. Specifically, IV was prepared (no yield, claimed) via condensation, alkylation and
stereoselective fluorination reactions and can exhibit potential use as an
anti-HCV agent.
874638-97-8P
```

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of alkyl-substituted 2-deoxy-2-fluoro-D-ribofuranosyl pyrimidine and purine nucleoside analogs via condensation of the lactone to nucleosides)

874638-97-8 CAPLUS

Adenosine, N-benzoyl-2'-deoxy-2'-fluoro-2'-methyl-, 3',5'-dibenzoate, (2'R) - (9CI) (CA INDEX NAME)

(2'R) - (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 817204-33-4 CAPLUS Cytidine, 2'-deoxy-2'-fluoro-2'-methyl-, (2'R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 874638-82-1 CAPLUS CN Benzamide, N-[1-[(2R)-5-0-benzoyl-2-deoxy-2-fluoro-2-methyl-3-0-(methylsulfonyl)- β -D-erythro-pentofuranosyl]-1,2-dihydro-2-oxo-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

RN 874638-94-5 CAPLUS

CN Benzamide, N-[1-[(2R)-3,5-di-O-benzoyl-2-deoxy-2-fluoro-2-methyl-α-D-erythro-pentofuranosyl]-1,2-dihydro-2-oxo-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 874638-95-6 CAPLUS

CN Adenosine, N-benzoyl-2'-deoxy-2'-fluoro-2'-methyl-, (2'R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 874638-98-9 CAPLUS

CN Cytidine, N-benzoyl-2'-deoxy-2'-fluoro-2'-methyl-, (2'R)- (9CI) (CA INDEX NAME)

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L4
     ANSWER 10 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN
     2005:648160 CAPLUS
AN
DN
     143:248607
ΤI
     Design, Synthesis, and Antiviral Activity of 2'-Deoxy-2'-fluoro-2'-C-
     methyl-cytidine, a Potent Inhibitor of Hepatitis C Virus Replication
ΑU
     Clark, Jeremy L.; Hollecker, Laurent; Mason, J. Christian; Stuyver, Lieven
     J.; Tharnish, Phillip M.; Lostia, Stefania; McBrayer, Tamara R.; Schinazi,
     Raymond F.; Watanabe, Kyoichi A.; Otto, Michael J.; Furman, Phillip A.;
     Stec, Wojciech J.; Patterson, Steven E.; Pankiewicz, Krzysztof W. Pharmasset, Inc., Princeton, NJ, 08540, USA Journal of Medicinal Chemistry (2005), 48(17), 5504-5508
CS
SO
     CODEN: JMCMAR; ISSN: 0022-2623/
PB
     American Chemical Society
DT
     Journal
     English
I.A
     The pyrimidine nucleoside- β-D-2'-deoxy-2'-fluoro-2'-C-methylcytidine
AB
     (I) was designed as a hepatitis C virus RNA-dependent RNA polymerase (HCV
     RdRp) inhibitor. The title compound was obtained by a DAST fluorination of
     N4-benzoyl-1-(2-methyl-3,5-di-O-benzoyl-\beta-D-arabinofuranosyl)cytosine
     to provide N4-benzoyl-1-(2-fluoro-2-methyl-3,5-di-O-benzoyl-\beta-D-ribofuranosyl)cytosine. The protected 2'-C-methylcytidine was obtained as
     a byproduct from the DAST fluorination and allowed for the preparation of two
     biol. active compds. from a common precursor. Compound I and
     2'-C-methylcytidine were assayed in a sub-genomic HCV replicon assay
     system and found to be potent and selective inhibitors of HCV replication. Compd.I shows increased inhibitory activity in the HCV replicon assay
     compared to 2'-C-methylcytidine and low cellular toxicity.
     817204-33-4P
     RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
     preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant
     or reagent)
         (design, synthesis via fluorination, and antiviral activity of
         2'-deoxy-2'-fluoro-2'-C-methyl-cytidine, a potent inhibitor of
         Hepatitis C virus replication)
RN
     817204-33-4 CAPLUS
     Cytidine, 2'-deoxy-2'-fluoro-2'-methyl-, (2'R)- (9CI) (CA INDEX NAME)
```

Absolute stereochemistry. Rotation (+).

863329-66-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (design, synthesis via fluorination, and antiviral activity of 2'-deoxy-2'-fluoro-2'-C-methyl-cytidine, a potent inhibitor of Hepatitis C virus replication)
863329-66-2 CAPLUS
Uridine, 2'-deoxy-2'-fluoro-2'-methyl-, (2'R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

IT 817204-32-3P 863329-65-1P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

McIntosh

IT

RN

CN

(Reactant or reagent) (design, synthesis via fluorination, and antiviral activity of 2'-deoxy-2'-fluoro-2'-C-methyl-cytidine, a potent inhibitor of Hepatitis C virus replication) 817204-32-3 CAPLUS RN Cytidine, N-benzoyl-2'-deoxy-2'-fluoro-2'-methyl-, 3',5'-dibenzoate, CN (2'R) - (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 863329-65-1 CAPLUS Uridine, 2'-deoxy-2'-fluoro-2'-methyl-, 3',5'-dibenzoate, (2'R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

IT 817204-38-9P

RL: SPN (Synthetic preparation); PREP (Preparation) (design, synthesis via fluorination, and antiviral activity of 2'-deoxy-2'-fluoro-2'-C-methyl-cytidine, a potent inhibitor of Hepatitis C virus replication) 817204-38-9 CAPLUS

RN

Cytidine, 2'-deoxy-2'-fluoro-2'-methyl-, monohydrochloride, (2'R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RE.CNT 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 11 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN 1.4

AN 2005:34765 CAPLUS

DN 142:94074

```
TТ
     Preparation of modified fluorinated (2'R)-2'-deoxy-2'-fluoro-2'-C-methyl
     nucleoside analogs as antiviral agents
TN
     Clark, Jeremy
     Pharmasset, Ltd., Barbados
PA
SO
     PCT Int. Appl., 228 pp.
     CODEN: PIXXD2
DΤ
     Patent
LA
     English
FAN.CNT 1
     PATENT NO.
                          KIND
                                  DATE
                                              APPLICATION NO.
                                                                       DATE
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     WO 2005003147
                                              WO 2004-US12472
PΤ
                           Α2
                                  20050113
                                                                       20040421
     WO 2005003147
                           АЗ
                                  20050303
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
                          PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL,
             NO, NZ,
                      OM,
             TJ, TM, TN, TR,
                              TT, TZ, UA, UG,
                                               US, UZ, VC, VN, YU, ZA, ZM,
                                                                             ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
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             SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN,
             TD, TG
     AU 2004253860
                           A2
                                  20050113
                                              AU 2004-253860
                                                                       20040421
     AU 2004253860
                                  20050113
                           A1
     CA_2527657-
                           Α1
                                  20050113
                                              CA 2004-2527657
                                                                       20040421
     US 2005009737
                                  20050113
                                              US 2004-828753
                           A1
                                                                       20040421
        1633766-
                           A2
                                  20060315
                                              EP 2004-775900
                                                                       20040421
             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
         R:
             IE, SI, LT, LV,
                              FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR
     BR 2004010846
                           Α
                                  20060627
                                               BR 2004-10846
                                                                       20040421
     CN 1816558
                           Α
                                  20060809
                                              CN 2004-80019148
                                                                       20040421
     JP 2006526629
                           Т
                                  20061124
                                              JP 2006-513231
                                                                       20040421
     NO 2005006221
                           Α
                                  20051228
                                              NO 2005-6221
                                                                       20051228
PRAI US 2003-474368P
                                  20030530
     WO 2004-US12472
                                  20040421
os
     MARPAT 142:94074
GΙ
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AB The disclosed invention provides nucleoside analogs I, wherein B is purine and pyrimidine nucleobase; X is O, S, CH2, Se, NH, N-alkyl, CHW, C(W)2; Wis F, Cl, Br, iodo; R1 is H, phosphate, H-phosphonate, acyl, Ph, alkyl, carboxyalkylamino, sulfonate ester, peptide, amino acid, sugar reside; R2 and R2' are independently H, alkyl, alkenyl, alkynyl, vunyl, N3, CN, halogen, NO2, ester, alkoxy, thioalkyl, sulfoxide, sulfonyl; R6 is alkyl, CN, Me, OMe, OEt, CH2OH, CH2F, N3, CHCN, CH2N3, CH2NH2, CH2NHMe, CH2NMe2, alkylne; and methods of treating a Flaviviridae infection, including hepatitis C virus, West Nile Virus, yellow fever virus, and a rhinovirus infection in a host, including animals, and especially human, using a (2'R)-2'-deoxy-2'-fluoro-2'-C-Me nucleosides, or a pharmaceutically acceptable salt or prodrug thereof. Thus, (2'R)-2'-deoxy-2'-fluoro-2'-Cmethylcytidine was prepared and tested as antiviral agent. The effects the nucleoside analogs tested on human bone marrow cells are reported. (2'R)-2'-deoxy-2'-fluoro-2'-C-methylcytidine shows activity against Rhinovirus, West Nile virus, Yellow Fever virus, and Dengue virus. Cytotoxicity and effect of nucleoside analogs on human bone marrow cells are reported. 817204-33-4P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (preparation of modified fluorinated (2'R)-2'-deoxy-2'-fluoro-2'-C-Me nucleoside analogs as antiviral agents)

RN

817204-33-4 CAPLUS Cytidine, 2'-deoxy-2'-fluoro-2'-methyl-, (2'R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

IT 817204-38-9P 817204-42-5P 817204-43-6P

817204-45-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(preparation of modified fluorinated (2'R)-2'-deoxy-2'-fluoro-2'-C-Me nucleoside analogs as antiviral agents)

RN

817204-38-9 CAPLUS Cytidine, 2'-deoxy-2'-fluoro-2'-methyl-, monohydrochloride, (2'R)- (9CI) CN (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

● HCl

RN 817204-42-5 CAPLUS

9H-Purine, 6-chloro-9-[(2R)-2-deoxy-2-fluoro-2-methyl- β -D-erythro-CN pentofuranosyl] - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN

817204-43-6 CAPLUS Adenosine, 2'-deoxy-2'-fluoro-2'-methyl-, monohydrochloride, (2'R)- (9CI) CN

(CA INDEX NAME)

● HC1

RN 817204-45-8 CAPLUS CN Guanosine, 2'-deoxy-2'-fluoro-2'-methyl-, (2'R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 817204-44-7
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
 (Biological study); USES (Uses)
 (preparation of modified fluorinated (2'R)-2'-deoxy-2'-fluoro-2'-C-Me
 nucleoside analogs as antiviral agents)
RN 817204-44-7 CAPLUS
CN Cytidine 5'-(tetrahydrogen triphosphate), 2'-deoxy-2'-fluoro-2'-methyl-,
 (2'R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Absolute stereochemistry. Rotation (+).

RN 817204-37-8 CAPLUS

CN Cytidine, N-benzoyl-2'-deoxy-2'-fluoro-2'-methyl-, 3',5'bis(trifluoroacetate), (2'R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 817204-41-4 CAPLUS

CN 9H-Purine, 6-chloro-9-[(2R)-3,5-di-O-acetyl-2-deoxy-2-fluoro-2-methylβ-D-erythro-pentofuranosyl]- (9CI) (CA INDEX NAME)

- L4 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN
- AN 2003:114368 CAPLUS
- DN 138:304462
- TI Synthesis of 2'-C-β-Fluoromethyluridine
- AU Dai, Qing; Piccirilli, Joseph A.
- CS Howard Hughes Medical Institute, Department of Biochemistry & Molecular Biology, Department of Chemistry, The University of Chicago, Chicago, IL, 60637, USA
- SO Organic Letters (2003), 5(6), 807-810
- CODEN: ORLEF7; ISSN: 1523-7060 PB American Chemical Society
- DT Journal
- LA English
- OS CASREACT 138:304462
- AB $2'-C-\beta$ -Fluoromethyluridine represents both a potentially important biol. agent and a tool for biochem. anal. Here the authors describe the first synthesis of this compound starting from uridine. The key steps include protection of the uracil base with methoxyethoxymethyl (MEM) chloride, conversion to the corresponding $2'-C-\alpha$ -epoxide, and regioselective opening of the oxirane ring with potassium fluoride/hydrogen fluoride. Subsequent acetylation of the 3'- and

Absolute stereochemistry.

RE.CNT 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d his

L1

(FILE 'HOME' ENTERED AT 14:11:26 ON 20 MAY 2007)

FILE 'REGISTRY' ENTERED AT 14:11:53 ON 20 MAY 2007 STRUCTURE UPLOADED 3 S L1 SSS SAM

L2 3 S L1 SSS SAM L3 150 S L1 FULL

FILE 'CAPLUS' ENTERED AT 14:13:03 ON 20 MAY 2007 L4 12 S L3